Request — Jan Delaval

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ACCESS DB # 162485

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: SABITHA GAZI Examiner #: 74141 Date: 8/15/05

Art Unit: 1616 Phone Number: 2-0622 Serial Number: 10/522,886

Location (Bldg/Room#): 4A45 (Mailbox #): 4C70 Results Format Preferred (circle): ~~PAPER~~ DISK

****

4C70, Rm 4A45

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following: MEJ

Title of Invention: Therapeutic agents for Psoriasis

Inventors (please provide full names): Shin Shimoaka

Earliest Priority Date: 8/1/2002 (371)

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

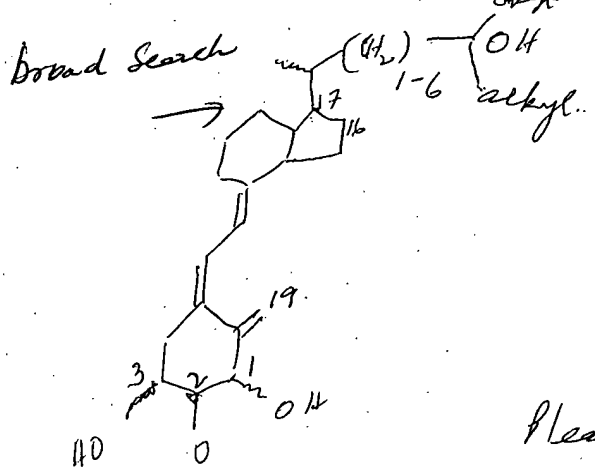
For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***

Cls 1-4

Please search for the Compds of formula (1)

Vit. D

Broad Search



Please see attached sheets

Thank you

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: 272704

Searcher Location: _____

Date Searcher Picked Up: 8/17/05

Date Completed: 8/17/05

Searcher Prep & Review Time: 15

Online Time: 125

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

✓ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

✓ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   16 AUG 2005  HIGHEST RN 860495-66-5
DICTIONARY FILE UPDATES:  16 AUG 2005  HIGHEST RN 860495-66-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l11
L11           5 SEA FILE=REGISTRY ABB=ON  PLU=ON  (104121-92-8/BI OR 158689-03-
                3/BI OR 299411-18-0/BI OR 357332-33-3/BI OR 794516-18-0/BI)


=> d sta que l15
L5              STR
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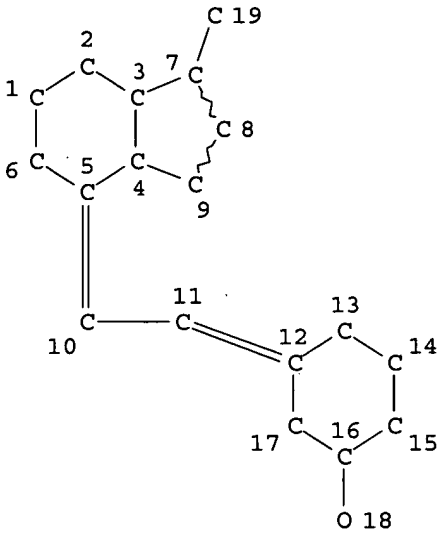
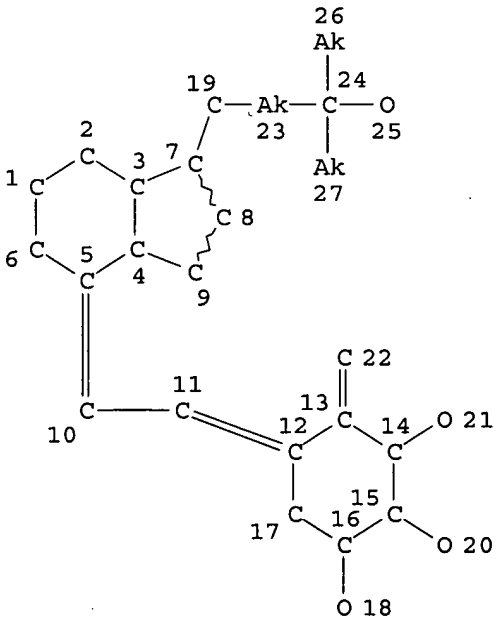


NODE ATTRIBUTES:
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 12 5
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L6 8760 SEA FILE=REGISTRY SSS FUL L5
L7 STR



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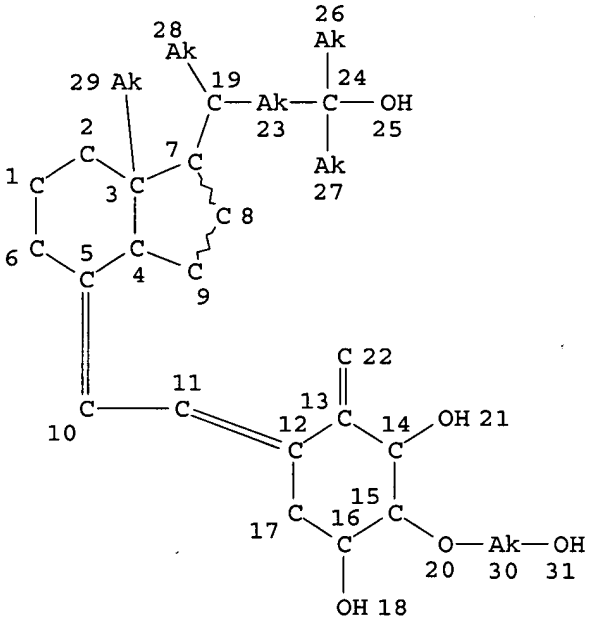
NSPEC IS RC AT 19

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 5 12
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L9 107 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L11 5 SEA FILE=REGISTRY ABB=ON PLU=ON (104121-92-8/BI OR 158689-03-3/BI OR 299411-18-0/BI OR 357332-33-3/BI OR 794516-18-0/BI)
L12 102 SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT L11
L13 STR



NODE ATTRIBUTES:
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 5 12
NUMBER OF NODES IS 31

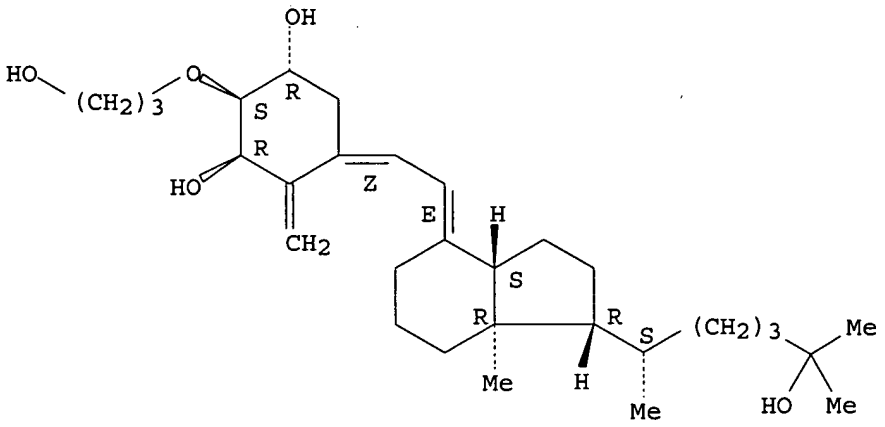
STEREO ATTRIBUTES: NONE
L14 15 SEA FILE=REGISTRY SUB=L12 CSS FUL L13
L15 13 SEA FILE=REGISTRY ABB=ON PLU=ON L14 NOT T/ELS

=> d l11 ide can tot

L11 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN **794516-18-0** REGISTRY
ED Entered STN: 08 Dec 2004
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2α,3β,5Z,7E,20S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H50 O5

SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



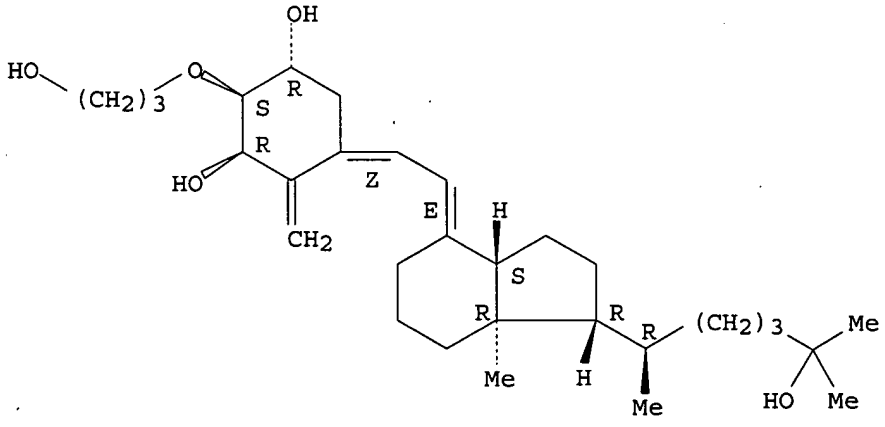
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L11 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN **357332-33-3** REGISTRY
ED Entered STN: 18 Sep 2001
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2α,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H50 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

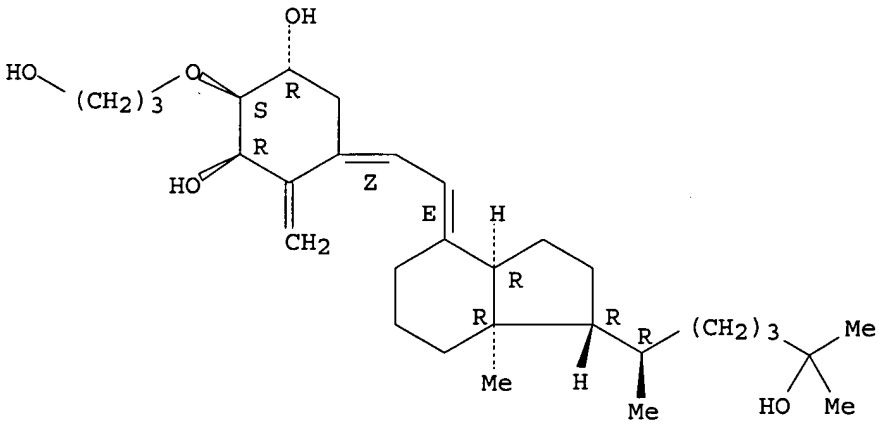
REFERENCE 1: 141:424340

REFERENCE 2: 139:128161

REFERENCE 3: 135:195700

L11 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN **299411-18-0** REGISTRY
ED Entered STN: 26 Oct 2000
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2α,3β,5Z,7E,14β)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H50 O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



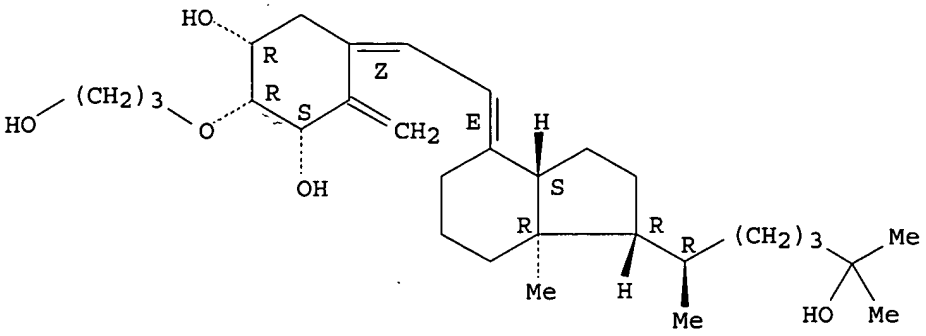
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L11 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN **158689-03-3** REGISTRY
ED Entered STN: 02 Nov 1994
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1β,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H50 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

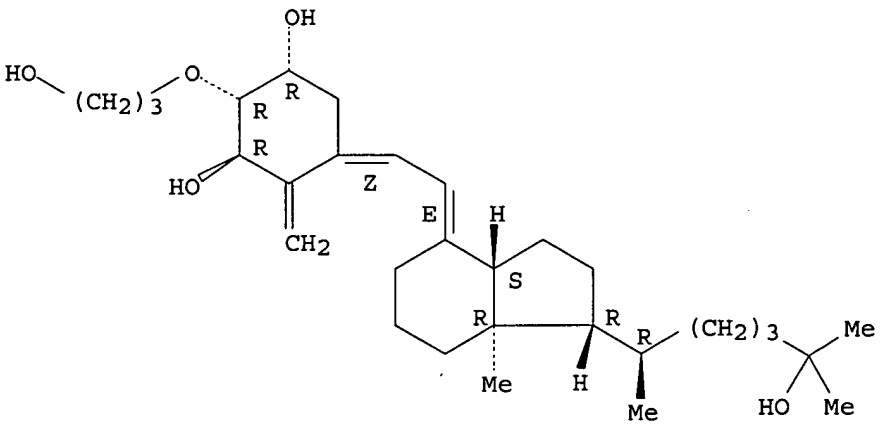
REFERENCE 1: 126:166097

REFERENCE 2: 123:257135

REFERENCE 3: 121:271260

L11 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN **104121-92-8** REGISTRY
ED Entered STN: 06 Sep 1986
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2β-(3-Hydroxypropoxy)-1α,25-dihydroxyvitamin D3
CN ED 71
FS STEREOSEARCH
MF C30 H50 O5
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, MEDLINE, PHAR, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



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75 REFERENCES IN FILE CA (1907 TO DATE)
75 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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REFERENCE 2: 142:404806

REFERENCE 3: 142:336518

REFERENCE 4: 142:336517

REFERENCE 5: 142:156210

REFERENCE 6: 142:156209

REFERENCE 7: 142:134783

REFERENCE 8: 142:1359

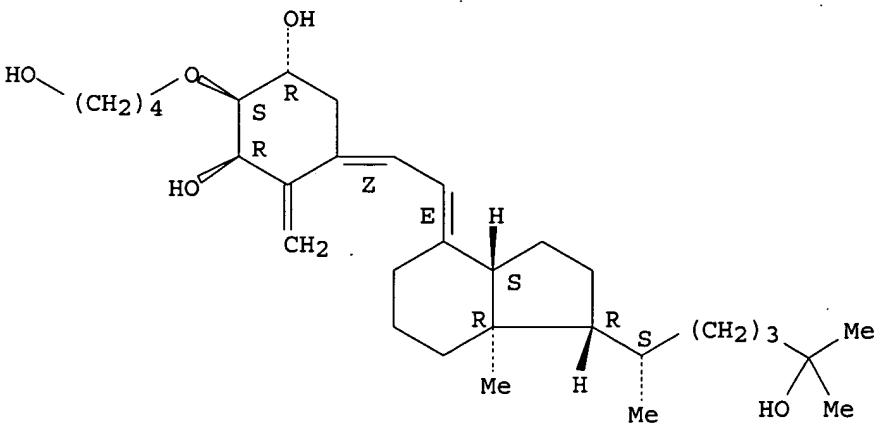
REFERENCE 9: 141:307685

REFERENCE 10: 141:185224

=> d l15 ide can tot

L15 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 794516-19-1 REGISTRY
ED Entered STN: 08 Dec 2004
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-, (1α,2α,3β,5Z,7E,20S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H52 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



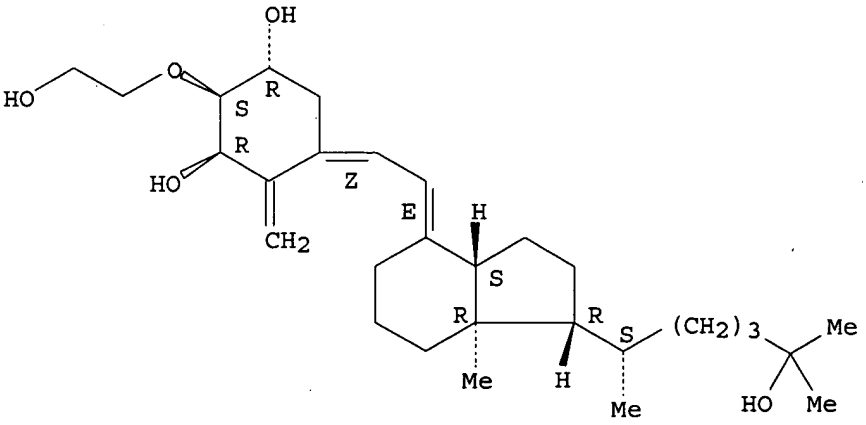
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 794516-17-9 REGISTRY
ED Entered STN: 08 Dec 2004
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-, (1α,2α,3β,5Z,7E,20S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H48 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



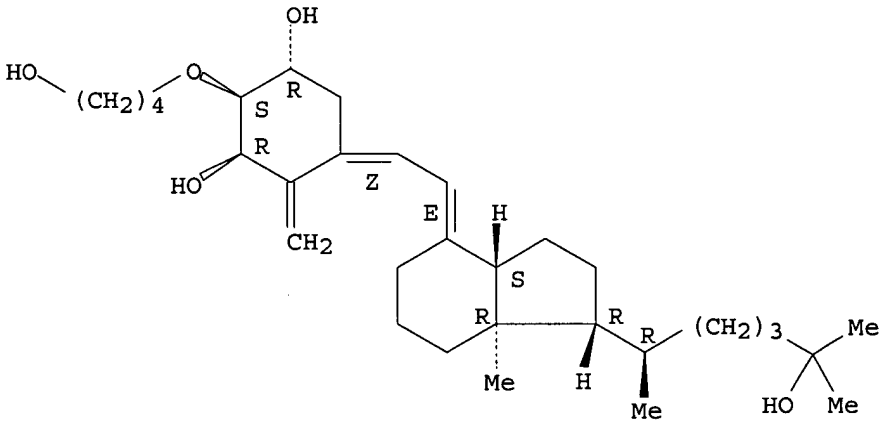
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 794516-16-8 REGISTRY
ED Entered STN: 08 Dec 2004
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-, (1α,2α,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H52 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



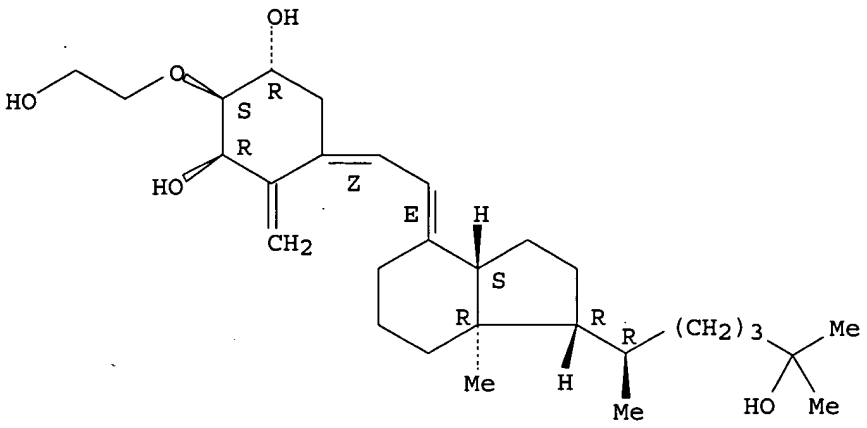
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 794516-04-4 REGISTRY
ED Entered STN: 08 Dec 2004
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-, (1α,2α,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H48 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



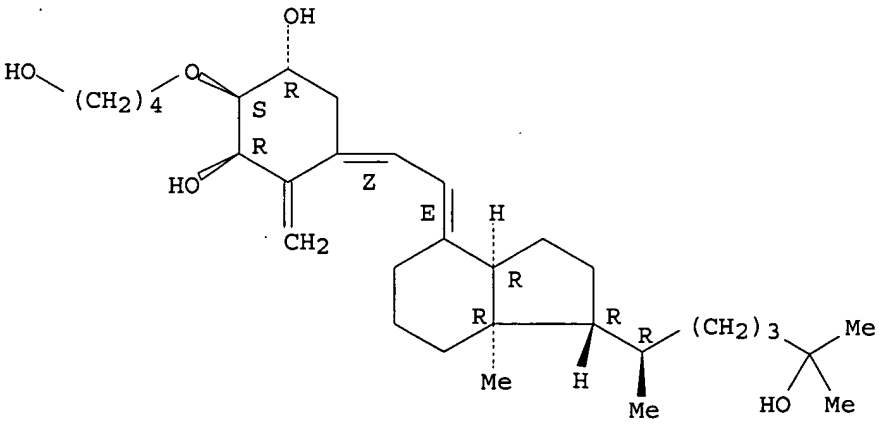
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 299411-19-1 REGISTRY
ED Entered STN: 26 Oct 2000
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-, (1α,2α,3β,5Z,7E,14β)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H52 O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

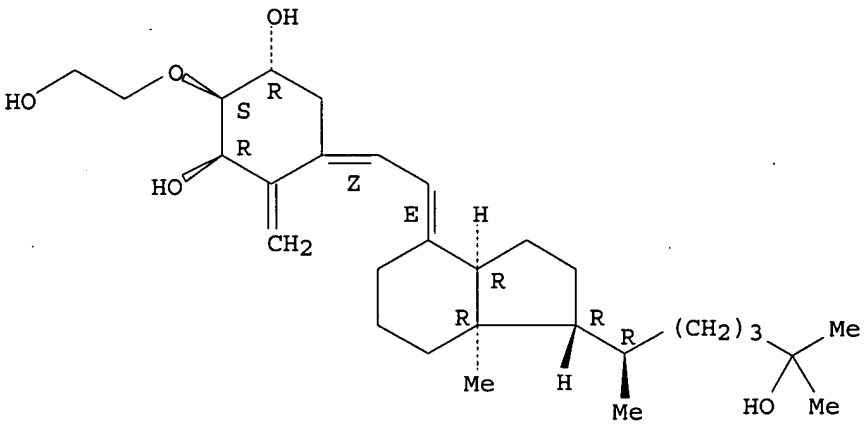
1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L15 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 299410-76-7 REGISTRY
ED Entered STN: 26 Oct 2000
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-, (1α,2α,3β,5Z,7E,14β)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H48 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.
Double bond geometry as shown.



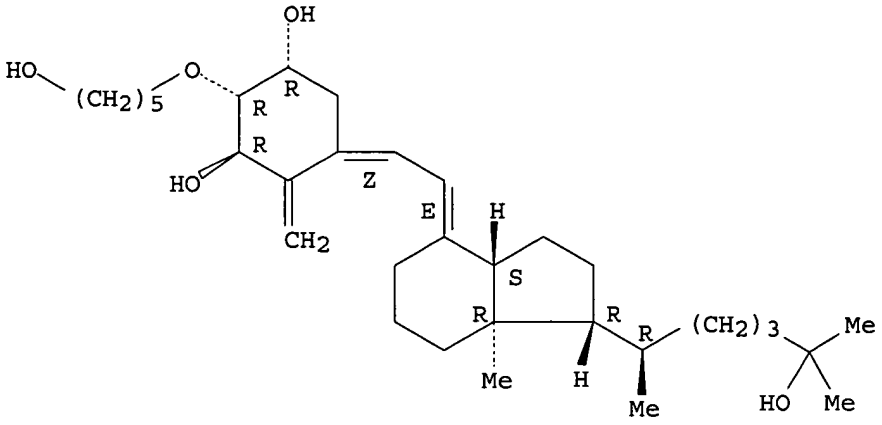
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L15 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 197860-92-7 REGISTRY
ED Entered STN: 26 Nov 1997
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H54 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

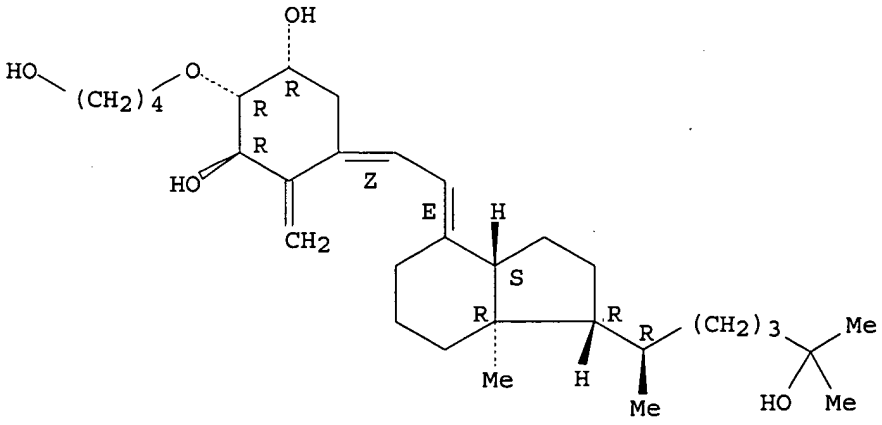
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:216550

REFERENCE 2: 127:358987

L15 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 197860-91-6 REGISTRY
ED Entered STN: 26 Nov 1997
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H52 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

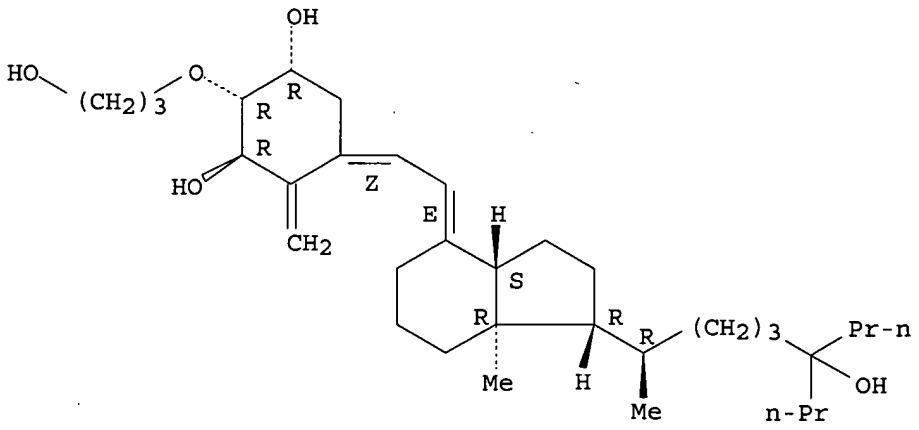
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:216550

REFERENCE 2: 127:358987

L15 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181128-88-1 REGISTRY
ED Entered STN: 24 Sep 1996
CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[(2E)-[(1R,3aS,7aR)-octahydro-1-[(1R)-5-hydroxy-1-methyl-5-propyloctyl]-7a-methyl-4H-inden-4-ylidene]ethylidene]-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[[octahydro-1-(5-hydroxy-1-methyl-5-propyloctyl)-7a-methyl-4H-inden-4-ylidene]ethylidene]-, [1R-[1α(R*),3aβ,4E(1R*,2R*,3R*,5Z),7aα]]-
FS STEREOSEARCH
MF C34 H58 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

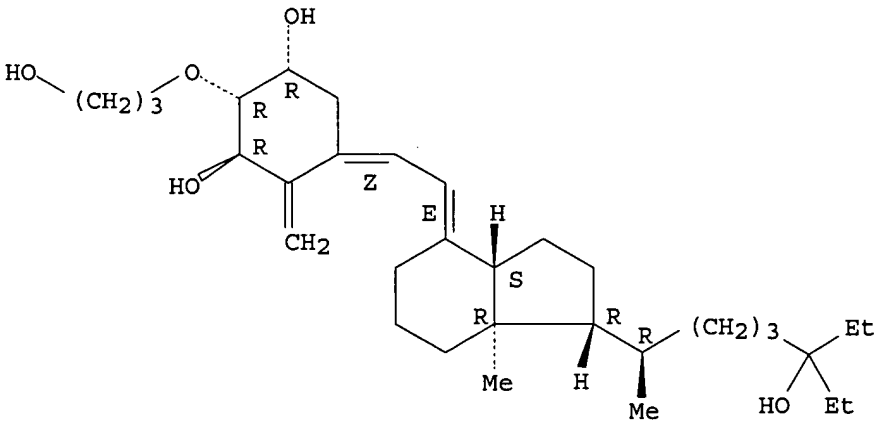
REFERENCE 1: 130:139508

REFERENCE 2: 125:196104

L15 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181128-84-7 REGISTRY
ED Entered STN: 24 Sep 1996
CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-

methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,3-Cyclohexanediol, 5-[[1-(5-ethyl-5-hydroxy-1-methylheptyl)octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, [1R-[1α(R*),3aβ,4E(1R*,2R*,3R*,5Z),7aα]]-
FS STEREOSEARCH
MF C32 H54 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

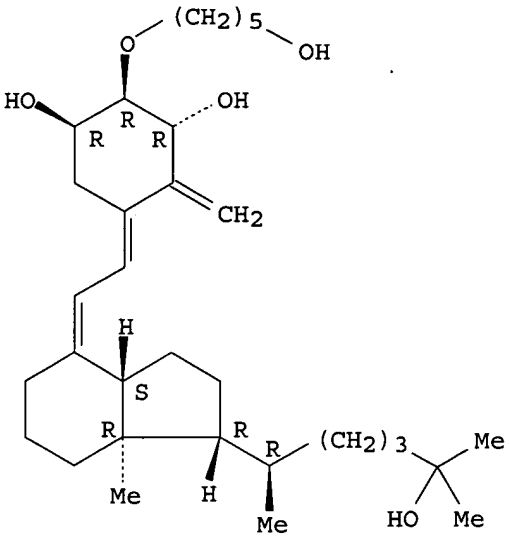
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:139508

REFERENCE 2: 125:196104

L15 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 159298-14-3 REGISTRY
ED Entered STN: 02 Dec 1994
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-, (1α,2β,3β)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H54 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry unknown.



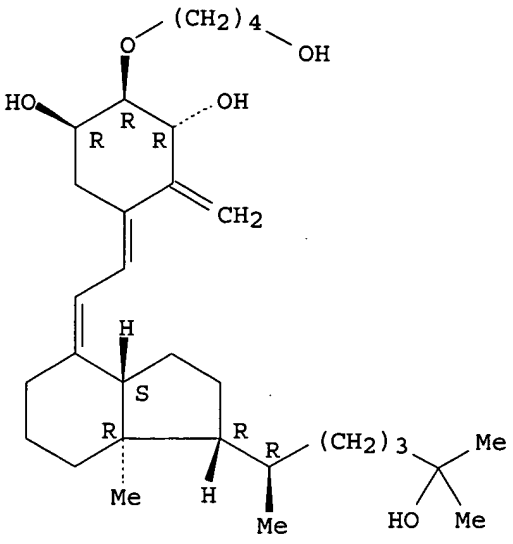
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:10366

L15 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 159298-12-1 REGISTRY
ED Entered STN: 02 Dec 1994
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-, (1α,2β,3β)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H52 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry unknown.



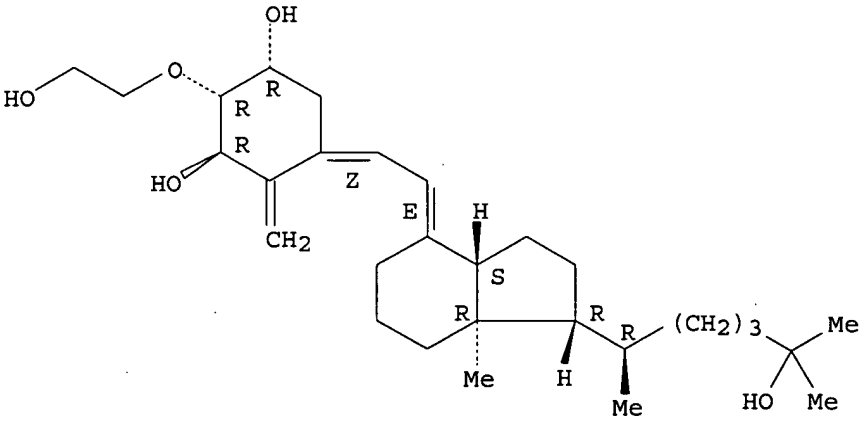
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:10366

L15 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN
RN 119059-74-4 REGISTRY
ED Entered STN: 17 Feb 1989
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H48 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

               3 REFERENCES IN FILE CA (1907 TO DATE)
               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  132:216550

REFERENCE   2:  127:358987

REFERENCE   3:  110:82505


=> d his

     (FILE 'HOME' ENTERED AT 07:54:22 ON 17 AUG 2005)
                SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 07:54:34 ON 17 AUG 2005
L1             1 S (WO2003-JP9814 OR JP2002-224297)/AP,PRN
                 E SHIMAOKA/AU
L2            27 S E68,E73-E76
L3         40063 S (CHUGAI? OR SEIYAKU? OR KABUSHIKI? OR KAISHA?)/PA,CS

     FILE 'REGISTRY' ENTERED AT 07:55:51 ON 17 AUG 2005
L4             1 S 104121-92-8
                 ACT QAZI658/A
                 ---------
L5               STR
L6          8760 SEA FILE=REGISTRY SSS FUL L5
                 ---------
L7               STR L5
L8             7 S L7 SAM SUB=L6
L9           107 S L7 FUL SUB=L6
                 SAV L9 QAZI522/A
L10            8 S L9 AND C30H50O5
                 SEL RN 1 2 6 7 8
L11            5 S E1-E5
L12          102 S L9 NOT L11
L13              STR L7
L14           15 S L13 CSS FUL SUB=L12
                 SAV L14 QAZI522A/A
                 SAV L11 QAZI522B/A
L15           13 S L14 NOT T/ELS

     FILE 'HCAOLD' ENTERED AT 08:01:35 ON 17 AUG 2005
L16            0 S L11 OR L15

     FILE 'HCAPLUS' ENTERED AT 08:01:42 ON 17 AUG 2005
L17           82 S L11 OR L15
L18           78 S ED71 OR ED 71
L19          105 S L17,L18
L20           31 S L19 AND L1-L3
                 E PSORIASIS/CT
L21           84 S L19 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)
L22           27 S L20 AND L21
L23            2 S L21 AND ?PSORIA?
                 E PSORIA/CT
L24            2 S L21 AND E6-E9
L25            2 S L23,L24
```

```
                E SKIN/CT
L26           6 S L21 AND E3-E97
                E E3+ALL
L27           6 S L21 AND E6+OLD,NT
L28           6 S L21 AND E33+OLD,NT,PFT,RT
L29           6 S L21 AND E34+OLD,NT,PFT,RT
L30           8 S L21 AND E36+OLD,NT,PFT,RT
L31           7 S L21 AND (E38+OLD,NT,PFT,RT OR E39+OLD,NT,PFT,RT)
L32           7 S L21 AND E37+OLD,NT,PFT,RT
L33          28 S L21 AND P/DT
L34          12 S L33 AND US/PC,PRC,AC
L35          17 S L23-L32,L34
L36           8 S L22 AND L35
L37          17 S L35,L36
L38          19 S L22 NOT L37

     FILE 'USPATFULL' ENTERED AT 08:10:54 ON 17 AUG 2005
L39          17 S L11 OR L15
L40          70 S L18
L41          80 S L39,L40
L42          60 S L41 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)
L43          17 S L42 AND L39
L44          43 S L42 NOT L43
L45          29 S L42 AND ?PSORIA?
L46          17 S L42 AND PSORIA?/CT
L47           6 S L45,L46 AND L39

     FILE 'MEDLINE' ENTERED AT 08:12:57 ON 17 AUG 2005
L48          23 S L11 OR L15
L49          35 S L18
L50          28 S L48,L49 AND PY<=2002
L51           0 S L50 AND ?PSORIA?
                E PSORIASIS/CT
L52           0 S L50 AND E3+NT
                E E3+ALL
L53           0 S L50 AND C17./CT
L54           1 S L50 AND SKIN+NT/CT

     FILE 'EMBASE' ENTERED AT 08:14:04 ON 17 AUG 2005
L55          40 S L11 OR L15
L56          49 S L18
L57          42 S L55,L56 AND PY<=2002
L58           5 S L57 AND ?PSORIA?
                E PSORIASIS/CT
L59           5 S L57 AND E3+NT
L60           5 S L58,L59

     FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005

=> fil embase
FILE 'EMBASE' ENTERED AT 08:15:45 ON 17 AUG 2005
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 FILE COVERS 1974 TO 11 Aug 2005 (20050811/ED)

 EMBASE has been reloaded. Enter HELP RLOAD for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.
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=> d l60 all tot

L60 ANSWER 1 OF 5 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN
AN 2001409638 EMBASE
TI Vitamin D analogs: Mechanism of action and therapeutic applications.
AU Nagpal S.; Lu J.; Boehm M.F.
CS S. Nagpal, Gene Reg. Bone/Inflammation Research, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN-46285, United States
SO Current Medicinal Chemistry, (2001) Vol. 8, No. 13, pp. 1661-1679.
Refs: 193
ISSN: 0929-8673 CODEN: CMCHE7
CY Netherlands
DT Journal; Article
FS 003 Endocrinology
006 Internal Medicine
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
039 Pharmacy
LA English
SL English
ED Entered STN: 20011206
Last Updated on STN: 20011206
AB The physiological VDR ligand, 1α,25-dihydroxyvitamin D3, acts upon a wide variety of tissues and cells, both related to and unrelated to calcium and phosphate homeostasis. The noncalcemic actions of natural and synthetic VDR ligands are exemplified by their potent anti-proliferative, prodifferentiative and immunomodulatory activities. As a result, a VDR ligand is an approved drug for the topical treatment of **psoriasis**. A plethora of actions of 1α,25-dihydroxyvitamin D3 in various systems have suggested wide clinical applications of VDR ligands in such diverse disease states as inflammation (rheumatoid arthritis, **psoriatic** arthritis), dermatological indications (**psoriasis**, photoaging and skin rejuvenation), osteoporosis, cancers (breast, prostate, colon, leukemia and myelodysplastic syndrome) and autoimmune diseases (multiple sclerosis, type I diabetes and systemic lupus erythematosus). VDR ligands have shown therapeutic potential in limited human clinical trials as well as in animal models of these diseases. Some of the VDR ligands have shown not only potent preventive but also therapeutic anabolic activities in animal models of osteoporosis. However, the use of VDR in above mentioned indications as well as in oral therapy for **psoriasis** and even topical therapy for severe **psoriasis** is hampered by its associated toxicity, namely hypercalcemia. New VDR ligands have been synthesized which exhibit greater specificity by retaining desirable properties, but with reduced calcemic potential. The discovery of novel vitamin D3 analogs along with an increased understanding of the biological functions and mechanisms of action of VDR are likely to result in improved treatments for responsive indications.
CT Medical Descriptors:
*drug mechanism
*drug indication
physiology
calcium homeostasis
phosphate metabolism
cell proliferation
cell differentiation
immunomodulation
drug approval

psoriasis: DT, drug therapy
inflammation: DT, drug therapy
rheumatoid arthritis: DT, drug therapy
psoriatic arthritis: DT, drug therapy
skin disease: DT, drug therapy
osteoporosis: DT, drug therapy
breast cancer: DT, drug therapy
prostate cancer: DT, drug therapy
colon cancer: DT, drug therapy
leukemia: DT, drug therapy
myelodysplastic syndrome: DT, drug therapy
autoimmune disease: DT, drug therapy
multiple sclerosis: DT, drug therapy
insulin dependent diabetes mellitus: DT, drug therapy
systemic lupus erythematosus: DT, drug therapy
preventive medicine
drug activity
animal model
disease severity
hypercalcemia: SI, side effect
drug synthesis
drug specificity
treatment planning
treatment indication
drug structure
skin irritation: SI, side effect
drug formulation
hyperphosphatemia: SI, side effect
drug potentiation
human
nonhuman
mouse
rat
human tissue
human cell
article
Drug Descriptors:
*colecalciferol derivative: AE, adverse drug reaction
*colecalciferol derivative: AN, drug analysis
*colecalciferol derivative: CB, drug combination
*colecalciferol derivative: CM, drug comparison
*colecalciferol derivative: DV, drug development
*colecalciferol derivative: IT, drug interaction
*colecalciferol derivative: DT, drug therapy
*colecalciferol derivative: PD, pharmacology
*colecalciferol derivative: PO, oral drug administration
*colecalciferol derivative: TP, topical drug administration
vitamin D receptor: EC, endogenous compound
calcitriol: AE, adverse drug reaction
calcitriol: AN, drug analysis
calcitriol: CB, drug combination
calcitriol: CM, drug comparison
calcitriol: DV, drug development
calcitriol: IT, drug interaction
calcitriol: DT, drug therapy
calcitriol: PD, pharmacology
calcitriol: PO, oral drug administration
calcitriol: TP, topical drug administration
calcium: EC, endogenous compound
phosphate: EC, endogenous compound

calcipotriol: AE, adverse drug reaction
calcipotriol: AN, drug analysis
calcipotriol: CM, drug comparison
calcipotriol: DV, drug development
calcipotriol: DT, drug therapy
calcipotriol: PD, pharmacology
calcipotriol: TP, topical drug administration
secosteroid: AE, adverse drug reaction
secosteroid: AN, drug analysis
secosteroid: CM, drug comparison
secosteroid: DV, drug development
secosteroid: DT, drug therapy
secosteroid: PD, pharmacology
tacalcitol: AE, adverse drug reaction
tacalcitol: DT, drug therapy
tacalcitol: PR, pharmaceutics
tacalcitol: PD, pharmacology
tacalcitol: TP, topical drug administration
22 oxacalcitriol: AE, adverse drug reaction
22 oxacalcitriol: DT, drug therapy
22 oxacalcitriol: PD, pharmacology
22 oxacalcitriol: PO, oral drug administration
25 hydroxyergocalciferol: CM, drug comparison
25 hydroxyergocalciferol: DT, drug therapy
25 hydroxyergocalciferol: PD, pharmacology
paricalcitol: CM, drug comparison
paricalcitol: DT, drug therapy
paricalcitol: PD, pharmacology
alfacalcidol: CM, drug comparison
alfacalcidol: DT, drug therapy
alfacalcidol: PD, pharmacology
2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy
2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology
2beta (3 hydroxypropoxy)calcitriol: PO, oral drug administration
taxol: CB, drug combination
taxol: IT, drug interaction
taxol: DT, drug therapy
taxol: PD, pharmacology
cisplatin: CB, drug combination
cisplatin: IT, drug interaction
cisplatin: DT, drug therapy
cisplatin: PD, pharmacology
tamoxifen: CB, drug combination
tamoxifen: DT, drug therapy
tamoxifen: PD, pharmacology
doxorubicin: CB, drug combination
doxorubicin: DT, drug therapy
doxorubicin: PD, pharmacology
CT Drug Descriptors:
carboplatin: CB, drug combination
carboplatin: IT, drug interaction
carboplatin: DT, drug therapy
carboplatin: PD, pharmacology
docitaxel: CB, drug combination
docitaxel: IT, drug interaction
docitaxel: DT, drug therapy
docitaxel: PD, pharmacology
retinoid: CB, drug combination
retinoid: IT, drug interaction
retinoid: DT, drug therapy

retinoid: PK, pharmacokinetics
retinoid: PD, pharmacology
steroid: CB, drug combination
steroid: IT, drug interaction
steroid: DT, drug therapy
steroid: PD, pharmacology
unclassified drug
ks 176
ks 291
cd 483
sl 137
wu 422
zg 1368
zg 1423
cy 616
lg 190119
lg 190155
lg 190178
du 145
ro 63 2023

RN (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (calcium) 7440-70-2; (phosphate) 14066-19-4, 14265-44-2; (calcipotriol) 112828-00-9, 112965-21-6; (tacalcitol) 60965-80-2; (22 oxacalcitriol) 103909-75-7; (25 hydroxyergocalciferol) 21343-40-8; (paricalcitol) 131918-61-1; (alfacalcidol) 41294-56-8; (2beta (3 hydroxypropoxy)calcitriol) **104121-92-8**; (taxol) 33069-62-4; (cisplatin) 15663-27-1, 26035-31-4, 96081-74-2; (tamoxifen) 10540-29-1; (doxorubicin) 23214-92-8, 25316-40-9; (carboplatin) 41575-94-4

CN Ks 176; Ks 291; Cd 483; Sl 137; Wu 422; Zg 1368; Zg 1423; Cy 616; Lg 190119; Lg 190155; Lg 190178; Dovonex; Mc 903; Calcipotriene; Daivonex; Maxacalcitol; Zemplar; **Ed 71**; Du 145; Ro 63 2023

L60 ANSWER 2 OF 5 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

AN 2001409485 EMBASE

TI The practical synthesis of vitamin D analogs: A challenge for process research.

AU Kabat M.M.; Radinov R.

CS R. Radinov, Hoffmann-La Roche Inc, Chem. Synthesis - Process Research, Pre-Clin. Research and Development, Nutley, NJ 07110, United States. roumen.radinov@roche.com

SO Current Opinion in Drug Discovery and Development, (2001) Vol. 4, No. 6, pp. 808-833.
Refs: 49
ISSN: 1367-6733 CODEN: CODDFF

CY United Kingdom

DT Journal; General Review

FS 037 Drug Literature Index

LA English

SL English

ED Entered STN: 20011206
Last Updated on STN: 20011206

AB New, highly-potent vitamin D analogs have increasingly come under consideration for the treatment of a variety of diseases as diverse as **psoriasis**, diabetes, renal osteodystrophy, osteoporosis, leukemia, cancer (breast, colon, prostate), AIDS and multiple sclerosis. This review will present recent efforts for the development of practical syntheses of these valuable compounds using the synthetically convergent Lythgoe approach.

CT Medical Descriptors:

*drug synthesis
drug research
process control
drug indication
psoriasis: DT, drug therapy
diabetes mellitus: DT, drug therapy
renal osteodystrophy: DT, drug therapy
osteoporosis: DT, drug therapy
leukemia: DT, drug therapy
breast cancer: DT, drug therapy
colon cancer: DT, drug therapy
prostate cancer: DT, drug therapy
acquired immune deficiency syndrome: DT, drug therapy
multiple sclerosis: DT, drug therapy
drug structure
hyperparathyroidism: DT, drug therapy
review
Drug Descriptors:
*vitamin D derivative: AN, drug analysis
*vitamin D derivative: DV, drug development
*vitamin D derivative: DT, drug therapy
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AN, drug analysis
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DV, drug development
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DT, drug therapy
ro 26 9228: AN, drug analysis
ro 26 9228: DV, drug development
ro 26 9228: DT, drug therapy
ro 25 6760: AN, drug analysis
ro 25 6760: DV, drug development
ro 26 2198: AN, drug analysis
ro 26 2198: DV, drug development
ro 25 9022: AN, drug analysis
ro 25 9022: DV, drug development
calcitriol: AN, drug analysis
calcitriol: DT, drug therapy
alfacalcidol: AN, drug analysis
alfacalcidol: DT, drug therapy
calcifediol: AN, drug analysis
calcifediol: DT, drug therapy
tacalcitol: AN, drug analysis
tacalcitol: DT, drug therapy
paricalcitol: AN, drug analysis
paricalcitol: DT, drug therapy
doxercalciferol: AN, drug analysis
doxercalciferol: DT, drug therapy
seocalcitol: AN, drug analysis
seocalcitol: DV, drug development
seocalcitol: DT, drug therapy
22 oxacalcitriol: AN, drug analysis
22 oxacalcitriol: DV, drug development
22 oxacalcitriol: DT, drug therapy
falecalcitriol: AN, drug analysis
falecalcitriol: DV, drug development
falecalcitriol: DT, drug therapy
2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis
2beta (3 hydroxypropoxy)calcitriol: DV, drug development
2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy

calcipotriol: AN, drug analysis
calcipotriol: DT, drug therapy
carvone
colecalciferol
unclassified drug
ilx 23 7553
dovanex
hectoral

RN (9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol) 118694-43-2; (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (alfacalcidol) 41294-56-8; (calcifediol) 19356-17-3; (tacalcitol) 60965-80-2; (paricalcitol) 131918-61-1; (doxercalciferol) 54573-75-0; (seocalcitol) 134404-52-7; (22 oxacalcitriol) 103909-75-7; (falecalcitriol) 83805-11-2; (2beta (3 hydroxypropoxy)calcitriol) **104121-92-8**; (calcipotriol) 112828-00-9, 112965-21-6; (carvone) 99-49-0; (colecalciferol) 1406-16-2, 67-97-0

CN (1) Ro 23 7553; (2) Ro 26 9228; (3) Ilx 23 7553; (4) Ro 25 6760; (5) Ro 25 9022; (6) Ro 26 2198; (7) Rocaltrol; (8) One alpha; (9) Calderol; (10) Dovanex; (11) Bonalfa; (12) Zemplar; (13) Hectoral; (14) Maxacalcitol; **(15) Ed 71**

CO (3) Ilex Oncology; (7) Hoffmann La Roche; (9) Organon; (10) Leo Pharmaceuticals; (11) Terijin fujisawa; (12) Abbott; (13) Bone Care; (15) Chugai; Taisho sumitomo

L60 ANSWER 3 OF 5 EMBASE
on STN

AN 2001386412 EMBASE

TI Therapeutic uses of vitamin D analogues.

AU Brown A.J.

CS Dr. A.J. Brown, Renal Division, Washington Univ. School of Medicine, St Louis, MO 63110, United States. abrown@imgate.wustl.edu

SO American Journal of Kidney Diseases, (2001) Vol. 38, No. 5 SUPPL. 5, pp. S3-S19.
Refs: 139
ISSN: 0272-6386 CODEN: AJKDDP

CY United States

DT Journal; Article

FS 003 Endocrinology
006 Internal Medicine
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles

LA English

SL English

ED Entered STN: 20011115
Last Updated on STN: 20011115

AB The vitamin D endocrine system has been implicated in numerous biological activities throughout the body. The breadth and magnitude of vitamin D activity suggest potential therapeutic applications for the treatment of several diseases and disorders, including hyperproliferative diseases, immune dysfunction, endocrine disorders, and metabolic bone diseases. However, therapy using natural vitamin D hormone, 1,25-dihydroxyvitamin D(3) (1,25[OH](2)D(3)) has been precluded in most cases because of the potent calcemic activity shown by this hormone. Newly developed vitamin D analogues with lower calcemic activity have been shown to retain many therapeutic properties of 1,25(OH)(2)D(3). Molecular studies discussed in this article provide insights into the unique target cell specificity afforded by these analogues. In particular, the importance of the nuclear vitamin D receptor (VDR), serum vitamin D-binding protein, 24-hydroxylase, and membrane receptor is noted because analogue selectivity, specificity,

and potency are afforded through their molecular interactions. The nuclear VDR has been isolated from a variety of target cells and tissues, suggesting that vitamin D compounds may have therapeutic potential throughout several body systems. Five vitamin D analogues have been approved for use in patients: calcipotriol (Dovonex; Leo Pharmaceuticals, Copenhagen, Denmark) for the treatment of **psoriasis**, 19-nor-1,25(OH)(2)D(2) (Zemplar; Abbott Laboratories, Abbott Park, IL) for secondary hyperparathyroidism, doxercalciferol (Hectorol; Bone Care Int, Madison, WI) for reduction of elevated parathyroid hormone levels, 22-oxacalcitriol (Maxacalcitol; Chugai Pharmaceuticals, Tokyo, Japan), and alfacalcidol. Several other analogues are currently being tested in preclinical and clinical trials for the treatment of various types of cancer and osteoporosis, as well as immunosuppression. Understanding how analogues exert their selective actions may allow for the design of more effective and safer vitamin D compounds for the treatment of a wide range of clinical disorders.

CT Medical Descriptors:
secondary hyperparathyroidism: DT, drug therapy
psoriasis: DT, drug therapy
drug selectivity
drug specificity
drug potency
drug mechanism
treatment indication
hypercalcemia: SI, side effect
drug structure
drug protein binding
cancer
immunopathology
osteoporosis: DT, drug therapy
osteoarthritis: DT, drug therapy
human
nonhuman
article
Drug Descriptors:
*vitamin D derivative: AE, adverse drug reaction
*vitamin D derivative: AN, drug analysis
*vitamin D derivative: DT, drug therapy
*vitamin D derivative: PD, pharmacology
calcitriol: AE, adverse drug reaction
vitamin D receptor: EC, endogenous compound
vitamin D binding protein: EC, endogenous compound
oxygenase: EC, endogenous compound
membrane receptor: EC, endogenous compound
calcipotriol: AN, drug analysis
calcipotriol: DT, drug therapy
calcipotriol: PD, pharmacology
paricalcitol: AN, drug analysis
paricalcitol: DT, drug therapy
paricalcitol: PD, pharmacology
doxercalciferol: AN, drug analysis
doxercalciferol: DT, drug therapy
doxercalciferol: PD, pharmacology
22 oxacalcitriol: AN, drug analysis
22 oxacalcitriol: DT, drug therapy
22 oxacalcitriol: PD, pharmacology
alfacalcidol: AN, drug analysis
alfacalcidol: DT, drug therapy
alfacalcidol: PD, pharmacology

parathyroid hormone: EC, endogenous compound
seocalcitol: AN, drug analysis
seocalcitol: DT, drug therapy
lexacalcitol: AN, drug analysis
20 epicalcitriol: AN, drug analysis
20 epicalcitriol: DT, drug therapy
2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis
2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy
tacalcitol: DT, drug therapy

RN (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (oxygenase) 9037-29-0, 9046-59-7; (calcipotriol) 112828-00-9, 112965-21-6; (paricalcitol) 131918-61-1; (doxercalciferol) 54573-75-0; (22 oxacalcitriol) 103909-75-7; (alfacalcidol) 41294-56-8; (parathyroid hormone) 12584-96-2, 68893-82-3, 9002-64-6; (seocalcitol) 134404-52-7; (lexacalcitol) 131875-08-6, 138876-52-5; (20 epicalcitriol) 134523-84-5; (2beta (3 hydroxypropoxy)calcitriol) **104121-92-8**; (tacalcitol) 60965-80-2

CN **(1) Ed 71**; (2) Mc 1288; (3) Kh 1060; (4) Eb 1089; (5) Dovonex; (6) Zemplar; (7) Hectorol; (8) Maxacalcitol

CO (5) Leo (Denmark); (6) Abbott (United States); (7) Bone Care (United States); (8) Chugai (Japan)

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AN 2001059399 EMBASE

TI History of the development of new vitamin D analogs: Studies on 22-oxacalcitriol (OCT) and 2β-(3-hydroxypropoxy)calcitriol (**ED-71**).

AU Nishii Y.; Okano T.

CS Y. Nishii, Medical Culture Inc., Toshima-ku, Tokyo, Japan. Nishiiysh-mc@chugai-pharm.co.jp

SO Steroids, (1 May 2001) Vol. 66, No. 3-5, pp. 137-146.
Refs: 47
ISSN: 0039-128X CODEN: STEDAM

PUI S 0039-128X(00)00227-0

CY United States

DT Journal; Conference Article

FS 013 Dermatology and Venereology
029 Clinical Biochemistry
030 Pharmacology
033 Orthopedic Surgery
037 Drug Literature Index
038 Adverse Reactions Titles

LA English

SL English

ED Entered STN: 20010301
Last Updated on STN: 20010301

AB In 1981 Suda and his colleagues first reported the new activity of calcitriol namely its ability to differentiate the myeloid leukemia cells into normal monocytes-macrophages. However, the possibility of using calcitriol as an antileukemic drug was not feasible because of its potent calcemic effects. Based on these observations, several pharmaceutical companies initiated the synthesis of vitamin D analogs with the aim to separate the calcemic actions of calcitriol from its actions on regulating the cell growth and differentiation. As a result, numerous noncalcemic analogs with a potential for the treatment of leukemia and other cancers were synthesized. The group at Chugai introduced two characteristic analogs of opposite type namely, 22-oxacalcitriol (OCT) and 2β-(3-hydroxypropoxy)calcitriol (**ED-71**) which have been shown to have therapeutic value and are already being used clinically. The work on OCT and **ED-71** together with

the work on calcipotriol and KH-1060 by Leo Laboratories, and 1α,25(OH)(2)-16-ene-23-yne-D(3) by Hoffmann-La Roche, vigorously stimulated research world-wide in the development of vitamin D analogs into pharmaceutical products. More recently new impressive vitamin D analogs such as 3-epi analogs, 19-nor analogs, 18-nor analogs, 2-methyl-20-epi-calcitriol, non-steroidal vitamin D analogs are being developed. The authors are convinced that various vitamin D analogs will become highly effective therapeutic agents at the clinical level in the new century, and also that a new theory on the mechanism of vitamin D action will be generated.

CT Medical Descriptors:
history of medicine
osteoporosis: DT, drug therapy
psoriasis: DT, drug therapy
myeloid leukemia: DT, drug therapy
leukemia cell line
cell differentiation
monocyte
macrophage
hypercalcemia: SI, side effect
drug synthesis
cell growth
research
human
nonhuman
conference paper
Drug Descriptors:
*vitamin D derivative: PD, pharmacology
*vitamin D derivative: DV, drug development
*22 oxacalcitriol: PD, pharmacology
*22 oxacalcitriol: PK, pharmacokinetics
*22 oxacalcitriol: DV, drug development
*2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology
*2beta (3 hydroxypropoxy)calcitriol: PK, pharmacokinetics
*2beta (3 hydroxypropoxy)calcitriol: DV, drug development
calcitriol: PD, pharmacology
calcitriol: PK, pharmacokinetics
calcitriol: DT, drug therapy
calcitriol: AE, adverse drug reaction
antileukemic agent: PD, pharmacology
antileukemic agent: DT, drug therapy
antileukemic agent: AE, adverse drug reaction
calcipotriol: PD, pharmacology
calcipotriol: DV, drug development
lexacalcitol: PD, pharmacology
lexacalcitol: DV, drug development
alfacalcidol: PD, pharmacology
alfacalcidol: DT, drug therapy
alfacalcidol: DV, drug development
1alpha,25 dihydroxy 16 ene 23 yne vitamin d3: PD, pharmacology
1alpha,25 dihydroxy 16 ene 23 yne vitamin d3: DV, drug development
unclassified drug

RN (22 oxacalcitriol) 103909-75-7; (2beta (3 hydroxypropoxy)calcitriol) **104121-92-8**; (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (calcipotriol) 112828-00-9, 112965-21-6; (lexacalcitol) 131875-08-6, 138876-52-5; (alfacalcidol) 41294-56-8

CN **(1) Ed 71**; (2) Kh 1060; Mc 903

CO (1) Chugai; (2) Leo; Hoffmann La Roche

L60 ANSWER 5 OF 5 EMBASE

on STN
AN 94008360 EMBASE
DN 1994008360
TI A dialogue on analogues: Newer vitamin-D drugs for use in bone disease, **psoriasis**, and cancer.
AU Jones G.; Calverley M.J.
CS Department of Biochemistry, Queen's University,Kingston, Ont. K7L 3N6, Canada
SO Trends in Endocrinology and Metabolism, (1993) Vol. 4, No. 9, pp. 297-303. ISSN: 1043-2760 CODEN: TENME4
CY United States
DT Journal; General Review
FS 003 Endocrinology
013 Dermatology and Venereology
029 Clinical Biochemistry
030 Pharmacology
037 Drug Literature Index
LA English
SL English
ED Entered STN: 940130
Last Updated on STN: 940130
AB Many new analogues of the vitamin-D hormone, 1α,25-dihydroxy-vitamin D3 [1α,25-(OH)2D3; calcitriol], have emerged that can mimic its various actions in classic calcium transport systems and/or in the regulation of cell proliferation and cell differentiation. Though some of these analogues have accentuated activity in cell differentiation assays in vitro, they lack appreciable 'calcemic' activity in vivo, leading to the name 'noncalcemic analogues.' Several of these analogues are promising candidates for use in treatment of **psoriasis** and in tumor suppression, one of them, calcipotriol, being already widely approved for the former indication. New generations of calcemic analogues with altered pharmacokinetics are appearing for use in secondary hyperparathyroidism and osteoporosis. We believe that the selective properties of both types of analogues stem from altered receptor binding, blood protein binding, and rate of catabolism.
CT Medical Descriptors:
*cancer: DT, drug therapy
*osteoporosis: DT, drug therapy
***psoriasis: DT, drug therapy**
*secondary hyperparathyroidism: DT, drug therapy
*secondary hyperparathyroidism: DI, diagnosis
calcium transport
cell differentiation
cell proliferation
dose response
drug half life
drug metabolism
drug potency
drug potentiation
gene expression
genetic transcription
human
hypocalcemia: DT, drug therapy
nonhuman
priority journal
protein binding
receptor binding
review
Drug Descriptors:
vitamin receptor

*22 oxacalcitriol: PK, pharmacokinetics
*22 oxacalcitriol: AD, drug administration
*22 oxacalcitriol: AN, drug analysis
*22 oxacalcitriol: IT, drug interaction
*22 oxacalcitriol: DT, drug therapy
*22 oxacalcitriol: PD, pharmacology
*falecalcitriol: AN, drug analysis
*falecalcitriol: AD, drug administration
*falecalcitriol: PD, pharmacology
*falecalcitriol: DT, drug therapy
*falecalcitriol: CM, drug comparison
*2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology
*2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy
*2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis
*2beta (3 hydroxypropoxy)calcitriol: AD, drug administration
*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DT, drug therapy
*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AN, drug analysis
*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AD, drug administration
*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: PD, pharmacology
*calcipotriol: PD, pharmacology
*calcipotriol: AN, drug analysis
*calcipotriol: AD, drug administration
*calcipotriol: DT, drug therapy
*calcipotriol: DO, drug dose
*calcipotriol: PK, pharmacokinetics
*calcitriol: PD, pharmacology
*calcitriol: DT, drug therapy
*calcitriol: CM, drug comparison
*calcitriol: AN, drug analysis
*calcitriol: AD, drug administration
*vitamin d derivative: PK, pharmacokinetics
*vitamin d derivative: AD, drug administration
*vitamin d derivative: AN, drug analysis
*vitamin d derivative: DO, drug dose
*vitamin d derivative: DT, drug therapy
*vitamin d derivative: PD, pharmacology
1alpha hydroxyergocalciferol: AD, drug administration
1alpha hydroxyergocalciferol: PD, pharmacology
1alpha hydroxyergocalciferol: DT, drug therapy
1alpha hydroxyergocalciferol: AN, drug analysis
bone mineral: EC, endogenous compound
calbindin: EC, endogenous compound
calcium: EC, endogenous compound
collagen type 1: EC, endogenous compound
dihydrotachysterol
24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol: PD, pharmacology
24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol: AD, drug administration
24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol: AN, drug analysis
24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol: DT, drug therapy
glucuronic acid
low density lipoprotein: EC, endogenous compound
osteocalcin: EC, endogenous compound

osteopontin: EC, endogenous compound
parathyroid hormone: EC, endogenous compound
phospholipase: EC, endogenous compound
tacalcitol: DT, drug therapy
tacalcitol: AN, drug analysis
tacalcitol: AD, drug administration
tacalcitol: PD, pharmacology
tamoxifen: IT, drug interaction
vitamin d binding protein: EC, endogenous compound

RN (22 oxacalcitriol) 103909-75-7; (falecalcitriol) 83805-11-2; (2beta (3 hydroxypropoxy)calcitriol) **104121-92-8**; (9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol) 118694-43-2; (calcipotriol) 112828-00-9, 112965-21-6; (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (1alpha hydroxyergocalciferol) 54573-75-0; (calcium) 7440-70-2; (dihydrotachysterol) 67-96-9; (24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol) 134404-52-7; (glucuronic acid) 36116-79-7, 576-37-4, 6556-12-3; (osteocalcin) 136461-80-8; (osteopontin) 106441-73-0; (parathyroid hormone) 12584-96-2, 68893-82-3, 9002-64-6; (phospholipase) 9013-93-8; (tacalcitol) 60965-80-2; (tamoxifen) 10540-29-1

CN (1) St 630; (2) St 630; (3) Eb 1089; (4) Tv 02; (5) Mc 903; (6) Ro 23 7553; **(7) Ed 71**

CO (1) Sumitomo; (2) Taisho; (4) Teijin; (5) Leo; (6) Hoffmann la roche; (7) Chugai; Bone care; Duphar

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FILE 'USPATFULL' ENTERED AT 08:15:55 ON 17 AUG 2005
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FILE LAST UPDATED: 17 Aug 2005 (20050817/ED)
HIGHEST GRANTED PATENT NUMBER: US6931661
HIGHEST APPLICATION PUBLICATION NUMBER: US2005177917
CA INDEXING IS CURRENT THROUGH 17 Aug 2005 (20050817/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Aug 2005 (20050816/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

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>>> the earliest to the latest publication. <<<

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substance identification.

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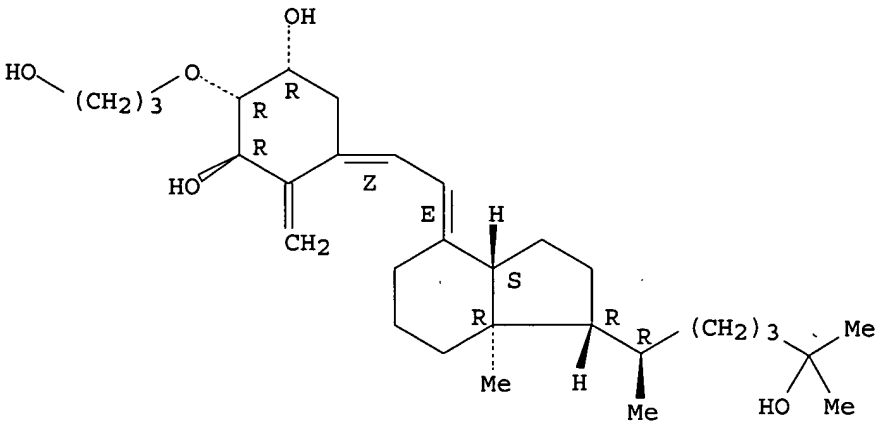
L47 ANSWER 1 OF 6 USPATFULL on STN
AN 2005:190123 USPATFULL
TI Fluorinated 4-azasteroid derivatives as androgen receptor modulators
IN Meissner, Robert S., Schwenksville, PA, UNITED STATES
Perkins, James J., Churchville, PA, UNITED STATES
PI US 2005165039 A1 20050728
AI US 2003-507239 A1 20030307 (10)
WO 2003-US8277 20030307
PRAI US 2003-363822P 20020313 (60) <--
DT Utility
FS APPLICATION
LREP MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US
CLMN Number of Claims: 41
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2812
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of structural formula I are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compounds are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8**, ED71
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

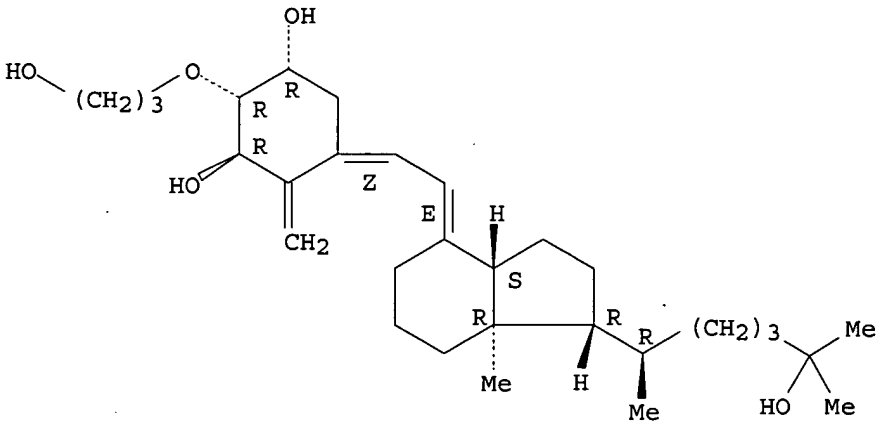
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L47 ANSWER 2 OF 6 USPATFULL on STN
AN 2003:181476 USPATFULL
TI Cyclic ether vitamin D3 compounds, 1alpha(OH) 3-epi- vitamin D3 compounds and uses thereof
IN Reddy, Satayanarayana G., Barrington, RI, UNITED STATES
PA Women and Infants Hospital (U.S. corporation)
PI US 2003125309 A1 20030703
AI US 2002-188320 A1 20020701 (10) <--
RLI Continuation of Ser. No. US 2000-617881, filed on 17 Jul 2000, GRANTED, Pat. No. US 6479538 Division of Ser. No. US 1998-79942, filed on 15 May 1998, GRANTED, Pat. No. US 6100294
PRAI US 1997-46690P 19970516 (60) <--
DT Utility
FS APPLICATION
LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN 36 Drawing Page(s)
LN.CNT 2295
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1α(OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3-β-hydroxyl group of 1α(OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8**
(therapeutic activity of cyclic ether vitamin D3 compds.,)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

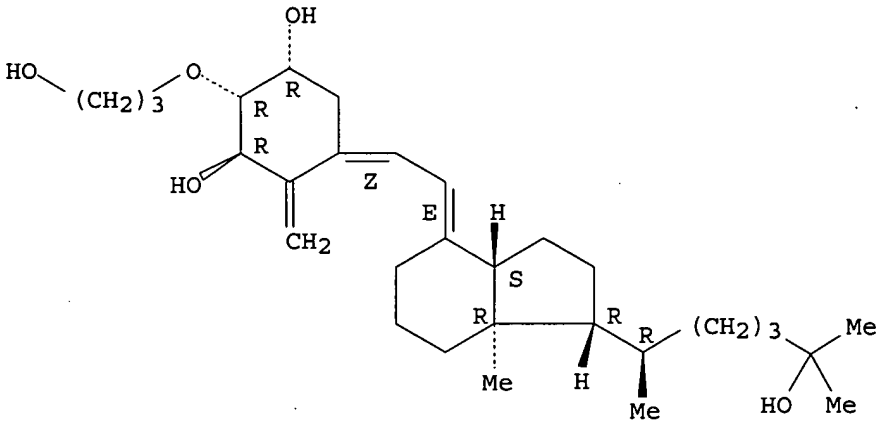
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L47 ANSWER 3 OF 6 USPATFULL on STN
AN 2002:297620 USPATFULL
TI Cyclic ether vitamin D3 compounds, 1α(OH)3-EPI-vitamin D3 compounds and uses thereof
IN Reddy, Satayanarayana G., Barrington, RI, United States
PA Women and Infants Hospital, Providence, RI, United States (U.S. corporation)
PI US 6479538 B1 20021112
AI US 2000-617881 20000717 (9) <--
RLI Division of Ser. No. US 1998-79942, filed on 15 May 1998, now patented, Pat. No. US 6100294
PRAI US 1997-46690P 19970516 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Solola, T. A.
LREP Lahive & Cockfield, LLP, Lauro, Esq., Peter C., Hanley, Esq., Elizabeth A.
CLMN Number of Claims: 9
ECL Exemplary Claim: 1
DRWN 40 Drawing Figure(s); 36 Drawing Page(s)
LN.CNT 2349
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1α(OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3-β-hydroxyl group of 1α(OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8**
(therapeutic activity of cyclic ether vitamin D3 compds.,)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

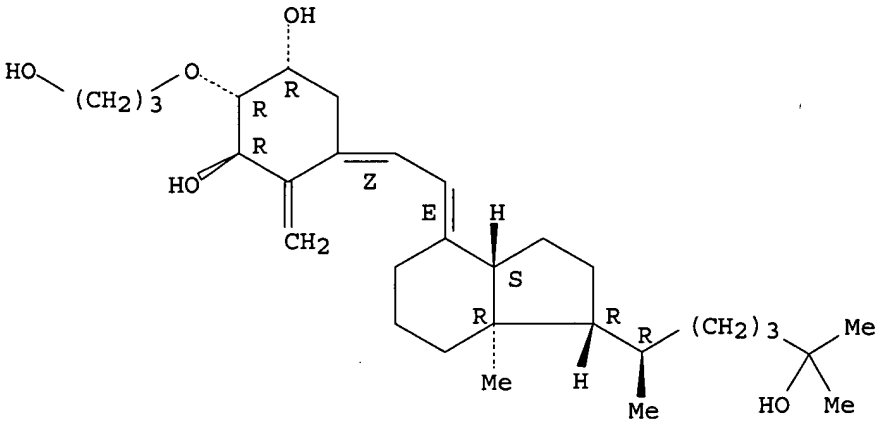
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L47 ANSWER 4 OF 6 USPATFULL on STN
AN 2000:125089 USPATFULL
TI Cyclic ether vitamin D3 compounds and uses thereof
IN Reddy, Satayanarayana G., Barrington, RI, United States
PA Woman and Infants Hospital, Providence, RI, United States (U.S. corporation)
PI US 6121312 20000919 <--
AI US 1999-410223 19990930 (9) <--
RLI Division of Ser. No. US 1998-79942, filed on 15 May 1998
PRAI US 1997-46690P 19970516 (60) <--
DT Utility
FS Granted
EXNAM Primary Examiner: McKane, Joseph; Assistant Examiner: Solola, Taofiq A.
LREP Lahive & Cockfield, LLP, Hanley, Esq., Elizabeth A., Lauro, Esq., Peter C.
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN 11 Drawing Figure(s); 36 Drawing Page(s)
LN.CNT 2438
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1α(OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3-β-hydroxyl group of 1α(OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8**
(therapeutic activity of cyclic ether vitamin D3 compds.,)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

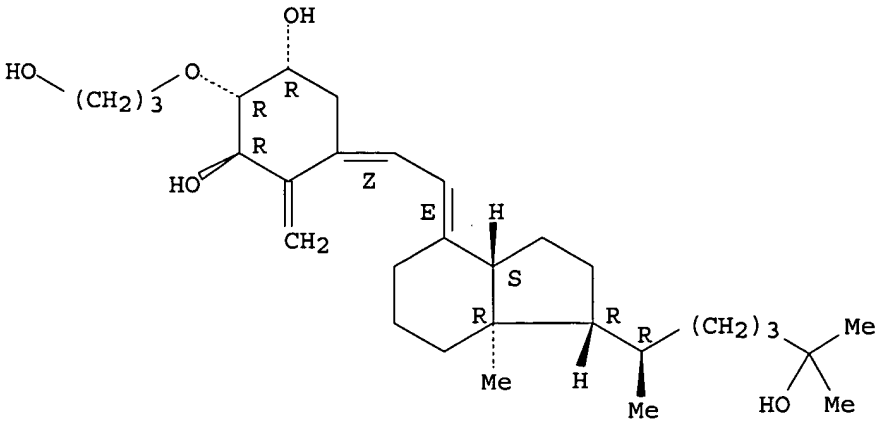
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L47 ANSWER 5 OF 6 USPATFULL on STN
AN 2000:102327 USPATFULL
TI Cyclic ether vitamin D3 compounds, 1α(OH) 3-epi-vitamin D3 compounds and uses thereof
IN Reddy, Satayanarayana G., Barrington, RI, United States
PA Women and Infants Hospital, Providence, RI, United States (U.S. corporation)
PI US 6100294 20000808 <--
AI US 1998-79942 19980515 (9) <--
PRAI US 1997-46690P 19970516 (60) <--
DT Utility
FS Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Solola, Taofiq A.
LREP Lahive & Cockfield, LLP
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 7 Drawing Figure(s); 36 Drawing Page(s)
LN.CNT 2551
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1α(OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3-β-hydroxyl group of 1α(OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8**
(therapeutic activity of cyclic ether vitamin D3 compds.,)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



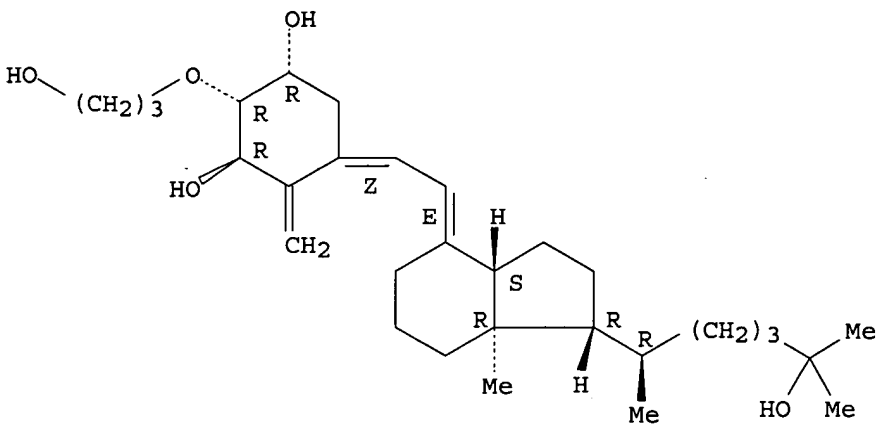
L47 ANSWER 6 OF 6 USPATFULL on STN
AN 94:66630 USPATFULL
TI Cyclohexanetriol derivatives
IN Takahashi, Takashi, Yokohama, Japan
Shiono, Manzo, Okayama, Japan
PA Kurary Co., Ltd., Okayama, Japan (non-U.S. corporation)
PI US 5334740 19940802 <--
AI US 1992-851943 19920313 (7) <--
PRAI JP 1991-73932 19910313 <--
DT Utility
FS Granted
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: McKane, Joseph K.
LREP Wenderoth, Lind & Ponack
CLMN Number of Claims: 9
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1366
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Cyclohexanetriol derivatives represented by the formula ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are the same or different, and each denotes a hydrogen atom or a protecting group of a hydroxyl group,

X denotes an oxygen atom, .dbd.CHCH.sub.2 OR.sup.4, .dbd.CHCHO or .dbd.CHCO.sub.2 R.sup.5, Y denotes a hydrogen atom and Z denotes --OR.sup.6, or Y and Z together form a single bond; or X and Z together form .dbd.NO--, .dbd.CHCH(OR.sup.7)O-- or .dbd.CHCO.sub.2 -- and Y is a hydrogen atom, R.sup.4 and R.sup.6 denote a hydrogen atom or a protecting group of a hydroxyl group respectively, R.sup.5 denotes a lower alkyl group, and R.sup.7 denotes a hydrogen atom or a lower alkyl group.

Said derivatives are useful as synthetic intermediates of 1-hydroxyvitamin D derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **104121-92-8P**
(preparation of)
RN 104121-92-8 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



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L37 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:348914 HCAPLUS
DN 142:404806
ED Entered STN: 22 Apr 2005
TI SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip
IN Esaki, Keiko
PA **Chugai Seiyaku Kabushiki Kaisha, Japan**
SO U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of Appl. No. PCT/JP03/02044.
CODEN: USXXCO
DT **Patent**

LA English
IC ICM C12Q001-68
ICS G01N033-53; C12M001-34
INCL 435007100; 435287200
CC 2-1 (Mammalian Hormones)
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005084908	A1	20050421	US 2004-924196	20040824 <--
	WO 2003071273	A1	20030828	WO 2003-JP2044	20030225 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2000-245560P	P	20001106	<--
	US 2001-783391	A2	20010215	<--
	JP 2002-48450	A	20020225	<--
	WO 2003-JP2044	A2	20030225	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2005084908	ICM	C12Q001-68	
	ICS	G01N033-53; C12M001-34	
	INCL	435007100; 435287200	
US 2005084908	NCL	435/007.100	<--
WO 2003071273	ECLA	G01N021/55B2; G01N033/543K2; G01N033/566	<--

AB A method for detecting the binding between a binding mol. and an immobilized low-mol.-weight compound is provided. The method comprises a step of measuring volume changes due to the binding of both compds. as an indicator. The use of immobilized low-mol.-weight compound produces highly reliable measuring results in terms of surface plasmon resonance, etc. The detection method of this invention is useful for screening for low-mol.-weight compds. that bind to binding mols., or binding mols. that bind to low-mol.-weight compds. The low-mol.-weight compound are specifically claimed to be estrogens, androgens, 1,25-hydroxylated vitamin D3, glucocorticoids, mineralocorticoids, progesterones, thyroid hormones, retinoic acid, or structural and functional analogs thereof. The binding mol. is a protein, more specifically a nuclear receptor. The nuclear receptor is specifically claimed to be estrogen receptors, androgen receptors, vitamin D3 receptors, glucocorticoid receptors, mineralocorticoid receptors, progesterone receptors, thyroid hormone receptors, retinoic acid receptors, and orphan receptors.

ST SPR hormone receptor binding immobilized hormone analog sensor chip
IT Proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(MBP (maltose-binding protein), fusion protein between estrogen receptor ligand-binding domain and maltose binding protein; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)
IT Biosensors
Drug screening
Surface plasmon resonance
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Androgen receptors
Androgens
Estrogen receptors
Estrogens
Glucocorticoid receptors
Glucocorticoids
Mineralocorticoid receptors
Mineralocorticoids
Nuclear receptors
Orphan receptors
Progesterone receptors
Progestogens
Retinoic acid receptors
Thyroid hormone receptors
Thyroid hormones
Vitamin D receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Drug delivery systems
(containing hormone analogs; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Estrogen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(fusion protein between estrogen receptor ligand-binding domain and maltose binding protein; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Estrogen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ligand-binding domain; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 586971-15-5P, ED 533
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(ED 533; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 302-79-4, Retinoic acid 302-79-4D, Retinoic acid, analogs 32222-06-3, 1,25-Dihydroxy vitamin D3 32222-06-3D, 1,25-Dihydroxy vitamin D3, analogs 103909-75-7, 1α,25-Dihydroxy-22-oxavitamin D3
104121-92-8, ED-71
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 850029-40-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

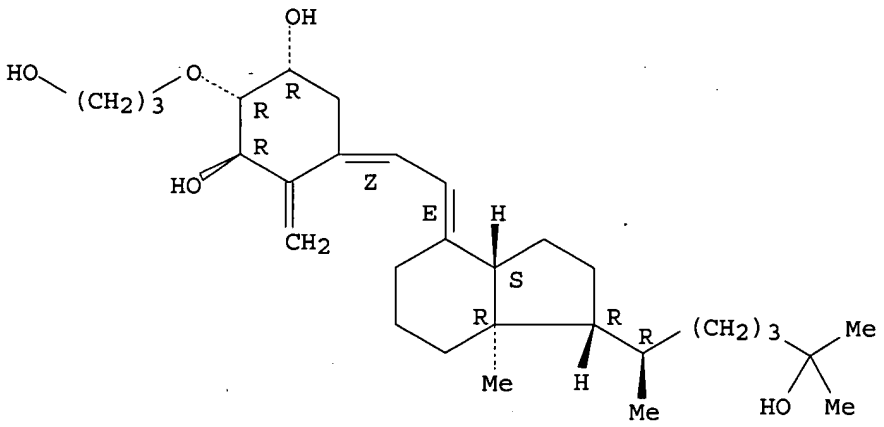
IT 78-94-4, 3-Buten-2-one, reactions 80-73-9, 1,3-Dimethyl-2-imidazolidinone 4233-33-4 140221-99-4 153004-29-6 586964-08-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 586964-04-7P 586964-05-8P 586964-06-9P 586964-07-0P 586964-09-2P 586964-10-5P 586964-11-6P 850029-38-8P 850029-39-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT **104121-92-8, ED-71**

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)
RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:120729 HCAPLUS
DN 140:157495
ED Entered STN: 13 Feb 2004
TI **Antipsoriatic** agent
IN **Shimaoka, Shin**
PA **Chugai Seiyaku Kabushiki Kaisha, Japan**
SO PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM A61K031-59
ICS A61P017-06; A61P043-00
CC 1-12 (Pharmacology)
Section cross-reference(s): 32, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012743	A1	20040212	WO 2003-JP9814	20030801 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1552837	A1	20050713	EP 2003-766702	20030801 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRAI JP 2002-224297 A 20020801 <--
WO 2003-JP9814 W 20030801 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2004012743	ICM	A61K031-59	
	ICS	A61P017-06; A61P043-00	
WO 2004012743	ECLA	A61K031/59	<--
EP 1552837	ECLA	A61K031/59	<--

AB Claimed is an **antipsoriatic** agent comprising 2β-(3-hydroxypropyloxy)-1α,25-dihydroxyvitamin D3 (I) as an active ingredient. The in vitro IC50 of I against the growth of keratinocytes is < 1 x 10-10 mol/L. Formulations are given.

ST hydroxypropyloxydihydroxyvitamin D3 **antipsoriatic** agent

IT Human
Psoriasis
(**ED-71** as **antipsoriatic** agent inhibiting growth of keratinocytes)

IT **Skin**
(**keratinocyte**; **ED-71** as **antipsoriatic** agent inhibiting growth of **keratinocytes**)

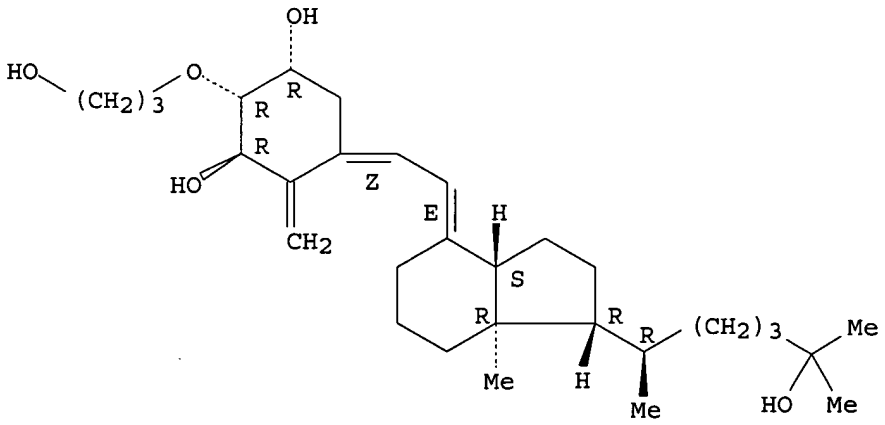
IT **104121-92-8**, **ED-71**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**ED-71** as **antipsoriatic** agent inhibiting growth of keratinocytes)

IT **104121-92-8**, **ED-71**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**ED-71** as **antipsoriatic** agent inhibiting growth of keratinocytes)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:892539 HCAPLUS
DN 139:375605

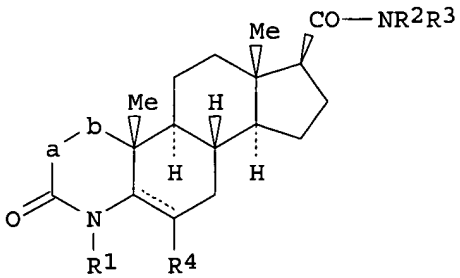
ED Entered STN: 14 Nov 2003
TI Synthesis and uses of 4-azasteroid derivatives as selective androgen receptor modulators (SARMs)
IN Wang, Jiabing; McVean, Carol A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT **Patent**
LA English
IC ICM A61K
CC 2-4 (Mammalian Hormones)
Section cross-reference(s): 32
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003092588	A2	20031113	WO 2003-US13120	20030425	<--
	WO 2003092588	A3	20040715			
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
	CA 2484173	AA	20031113	CA 2003-2484173	20030425	<--
	EP 1501512	A2	20050202	EP 2003-719957	20030425	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
	US 2005131005	A1	20050616	US 2003-512800	20030425	<--
PRAI	US 2002-376779P	P	20020430	<--		
	WO 2003-US13120	W	20030425			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2003092588	ICM	A61K	
WO 2003092588	ECLA	C07J073/00B2	<--
US 2005131005	NCL	514/284.000	<--

OS MARPAT 139:375605
GI



AB Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR

in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

ST azasteroid deriv androgen receptor modulators SARM deficiency

IT Human immunodeficiency virus 1
(-induced wasting; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(6, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(7, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Insulin-like growth factor-binding proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(IGFBP-3, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(IP, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Androgens

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(SARMs (selective androgen receptor modulators); synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SERM (selective estrogen receptor modulator), in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT **Skin, disease**
(**aging**; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone
Muscle
(androgen receptor activation; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostate gland
Uterus
(androgen receptor blockade; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Antiarteriosclerotics
(antiatherosclerotics; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Anemia (disease)
(aplastic; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Disease, animal
(arthropathy; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Injury
(bone, following reconstructive surgery; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(calcium, antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Cachexia
(cancerous; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugated, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Androgens

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(deficiency; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Antiestrogens
Estrogens
Progestogens
Prostaglandins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(derivs., in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Joint, anatomical
(disease; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Hematopoiesis
(disorders; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(equine, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Ovary, disease
(failure; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Drug screening
(for selective androgen receptor modulators; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone, disease
(fracture; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Testis, disease
(hypogonadism; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
Estrogens
Progestogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitor of antagonism of, in addition to SARMs treatment; synthesis and

uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Drug delivery systems
(injections; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone, disease
(injury, following reconstructive surgery; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modulation; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Drug delivery systems
(oral; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Bone, disease
(osteopenia; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Surgery
(plastic, -induced bone damage; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Menopause
(postmenopause; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Androgens
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(replacement therapy; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Muscle
(sarcopenia; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Drug delivery systems
(suppositories; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Alzheimer's disease
Anti-Alzheimer's agents
Antiarthritics
Anticholesteremic agents
Antiobesity agents
Antitumor agents
Arthritis
Atherosclerosis
Autoimmune disease
Human
Hypercholesterolemia
Hypolipemic agents
Muscular dystrophy
Obesity

Osteoporosis
Periodontium, disease
Prostate gland, neoplasm
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Drug delivery systems
(transdermal; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP1, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP2, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP4, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type FP, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Osteoclast
(vacuolar ATPase inhibitor, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Disease, animal
(wasting, HIV-induced; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Integrins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(αvβ5, antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Transforming growth factors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT Peroxisome proliferator-activated receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ, activator, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs)

in the treatment of androgen deficiency-related diseases)
IT 2127-03-9, 2,2'-Dipyridyl disulfide
RL: RCT (Reactant); RACT (Reactant or reagent)
(Aldrithiol 2; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
IT 13598-36-2D, Phosphonic acid, alkylidenebis- derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Bisphosphonate, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
IT 7664-41-7, Ammonia, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(anhydrous; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
IT 127464-60-2, Vascular endothelial growth factor
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
IT 7440-70-2, Calcium, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dietary supplements, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
IT 50-28-2, 17β-Estradiol, biological studies 53-16-7, Estrone, biological studies 64-96-0 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17β-Ethynyl estradiol 5863-35-4, CI-628 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analog 9002-72-6, Somatotropin 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclomiphene 15690-57-0, Enclomiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human PTH (1-34) 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 66376-36-1, Alendronate 67763-96-6, IGF I 67763-97-7, IGF II 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate 93957-54-1 , Fluvastatin 103909-75-7, 22-Oxacalcitriol **104121-92-8**, **ED71** 105462-24-6 106096-92-8, Acidic fibroblast growth factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5, Ibandronate 116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182133-25-1, Arzoxifene 182167-02-8, EM-652

182167-03-9, EM-800 187483-31-4, U-100A 193830-08-9, GDF5
198481-33-3, TSE 424 205944-50-9, Osteoprotegerin 260055-05-8,
Alendronate monosodium monohydrate 287714-41-4, Rosuvastatin
304853-26-7, Growth hormone secretagogue 583063-07-4, 1-84-Parathormone
(human)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 9028-35-7, HMG-CoA reductase 94716-09-3, Cathepsin K 165245-96-5, p38 Kinase
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(inhibitor, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 9000-83-3, ATPase
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(osteoclast vacuolar, inhibitor, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 67-56-1, Methanol, uses 67-68-5, Dimethyl sulfoxide, uses 108-88-3, Toluene, uses
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 622830-82-4P 622830-83-5P 622830-84-6P 622830-85-7P 622830-86-8P
622830-87-9P 622830-88-0P 622830-89-1P 622830-90-4P 622830-91-5P
622830-92-6P 622830-93-7P 622830-94-8P 622830-95-9P 622830-96-0P
622830-97-1P 622830-98-2P 622830-99-3P 622831-00-9P 622831-01-0P
622831-02-1P 622831-03-2P 622831-04-3P 622831-05-4P 622831-06-5P
622831-07-6P 622831-08-7P 622831-09-8P 622831-10-1P 622831-11-2P
622831-12-3P 622831-13-4P 622831-14-5P 622831-15-6P 622831-16-7P
622831-17-8P 622831-18-9P 622831-19-0P 622831-20-3P 622831-21-4P
622831-22-5P 622831-23-6P 622831-24-7P 622831-25-8P 622831-26-9P
622831-27-0P 622831-28-1P 622831-29-2P 622831-30-5P 622831-31-6P
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622831-77-0P 622831-78-1P 622831-79-2P 622831-80-5P 622831-81-6P
622831-82-7P 622831-83-8P 622831-84-9P 622831-85-0P 622831-86-1P
622831-87-2P 622831-88-3P 622831-89-4P 622831-90-7P 622831-91-8P
622831-92-9P 622831-93-0P 622831-94-1P 622831-95-2P 622831-96-3P
622831-97-4P 622831-98-5P 622831-99-6P 622832-00-2P 622832-01-3P
622832-02-4P 622832-03-5P 622832-04-6P 622832-05-7P 622832-06-8P
622832-07-9P 622832-08-0P 622832-09-1P 622832-10-4P 622832-11-5P
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622832-22-8P 622832-23-9P 622832-24-0P 622832-25-1P 622832-26-2P
622832-27-3P 622832-28-4P 622832-29-5P 622832-30-8P 622832-31-9P

622832-32-0P 622832-33-1P 622832-34-2P 622832-35-3P 622832-36-4P
622832-37-5P 622832-38-6P 622832-39-7P 622832-40-0P 622832-41-1P
622832-42-2P 622832-43-3P 622832-44-4P 622832-45-5P 622832-46-6P
622833-13-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

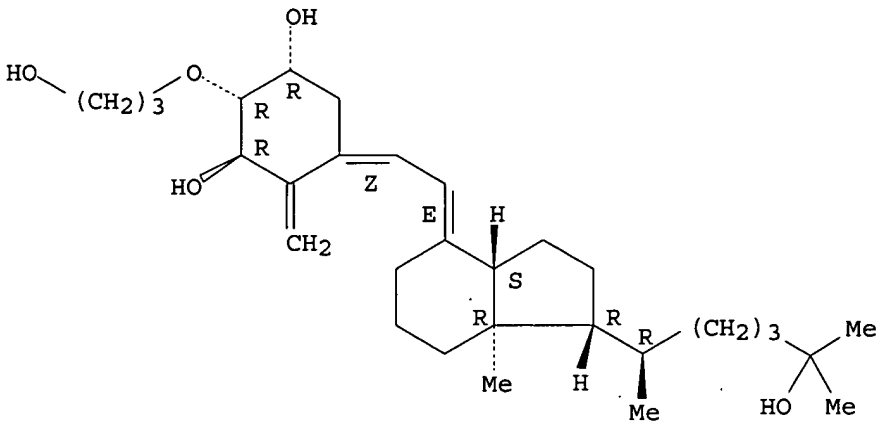
IT 62-53-3, Aniline, reactions 68-12-2, N,N-Dimethylformamide, reactions 74-88-4, reactions 75-09-2, Methylene chloride, reactions 77-78-1, Dimethyl sulfate 94-36-0, Benzoyl peroxide, reactions 109-99-9, Tetrahydrofuran, reactions 121-44-8, Triethylamine, reactions 123-91-1, 1,4-Dioxane, reactions 128-08-5, N-Bromosuccinimide 144-55-8, Carbonic acid monosodium salt, reactions 603-35-0, Triphenylphosphine, reactions 617-86-7, Triethylsilane 823-96-1 865-48-5 1122-58-3, 4-Dimethylaminopyridine 1310-65-2, Lithium hydroxide (Li(OH)) 1310-73-2, Sodium hydroxide, reactions 1892-57-5, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide 2923-28-6, Silver triflate 3282-30-2, Trimethylacetyl chloride 4519-40-8, 2,3-Difluoroaniline 5805-57-2, 1H-Benzimidazole-2-methanamine 6674-22-2, DBU 7087-68-5 7646-69-7, Sodium hydride (NaH) 7664-93-9, Sulfuric acid, reactions 14221-01-3, Tetrakis(triphenylphosphine)palladium(0) 25596-24-1, Trimethylsulfoxonium bromide 25952-53-8, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide monohydrochloride 33797-51-2, Eschenmoser's salt 39968-33-7, HOAt 103335-54-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 92472-52-1P 104214-18-8P 622830-81-3P 622832-47-7P 622832-48-8P 622832-49-9P 622832-50-2P 622832-51-3P 622832-52-4P 622832-53-5P 622832-54-6P 622832-55-7P 622832-56-8P 622832-57-9P 622832-58-0P 622832-59-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT **104121-92-8, ED71**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:757525 HCAPLUS
DN 139:277056
ED Entered STN: 26 Sep 2003
TI Preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivatives as androgen receptor modulators
IN Meissner, Robert S.; Perkins, James J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT **Patent**
LA English
IC ICM A61K031-473
ICS C07D221-18
CC 32-4 (Steroids)
Section cross-reference(s): 2, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003077919	A1	20030925	WO 2003-US8277	20030307 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2478186	AA	20030925	CA 2003-2478186	20030307 <--
	EP 1485095	A1	20041215	EP 2003-714228	20030307 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
	BR 2003008355	A	20050125	BR 2003-8355	20030307 <--
	US 2005165039	A1	20050728	US 2003-507239	20030307 <--
PRAI	US 2002-363822P	P	20020313 <--		
	WO 2003-US8277	W	20030307		

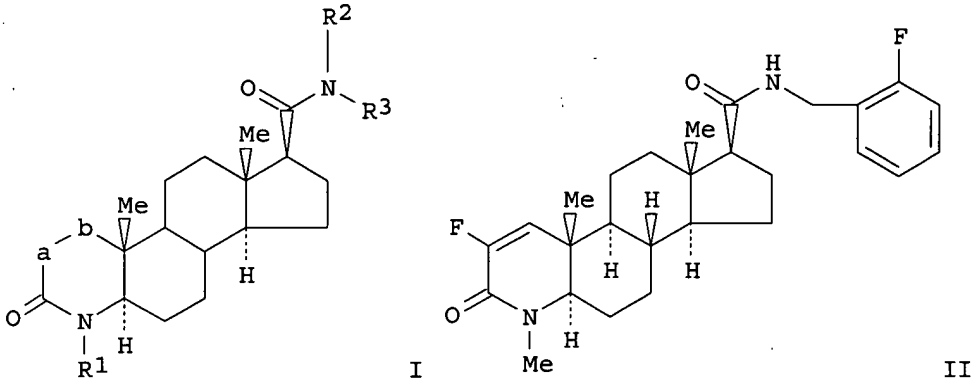
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 2003077919	ICM	A61K031-473	
	ICS	C07D221-18	
WO 2003077919	ECLA	A61K031/473; C07J073/00B2	<--
US 2005165039	NCL	514/284.000; 546/061.000	<--

OS MARPAT 139:277056

GI



AB Fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs., such as I [a-b = CF:CH, CHFCH2, CF2CH2; R1 = H, CH2OH, (un)substituted alkyl; R2 = H, alkyl; R3 = alkyl, cycloheteroalkyl, aryl, heteroaryl; R2R3 = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2 heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one-17β-carboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

ST androstanone aza carboxamide deriv prepn androgen receptor modulator

IT Bone morphogenetic proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(6, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(7, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT G protein-coupled receptors
Hormone receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GHS-R (growth hormone secretagogue receptor), bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Animal cell line
(Hep G2; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT **Skin, disease**
(**aging**, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostacyclin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Anemia (disease)
(aplastic, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Antiestrogens
Estrogens
Progestogens
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(calcium, antagonist, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Cachexia
(cancerous, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone
(damage, treatment of; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostaglandins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(derivative, bone strengthening agents as adjuvant therapeutics; preparation of
fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Hematopoiesis
(disorders, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Salts, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fluoride, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone, disease
(fracture, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Testis, disease
(hypogonadism, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Drug delivery systems
(injections; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Drug delivery systems
(oral; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone, disease
(osteopenia, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Osteoporosis
(postmenopausal, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Human
Muscle
Prostate gland
Uterus
(preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Muscle
(sarcopenia, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Diet
(supplements, calcium, bone strengthening agents as adjuvant

therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Drug delivery systems
(suppositories; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Drug delivery systems
(transdermal, patch; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Arthritis
Atherosclerosis
Autoimmune disease
Hypercholesterolemia
Muscular dystrophy
Obesity
Osteoarthritis
Osteoporosis
Periodontium, disease
Prostate gland, neoplasm
Rheumatoid arthritis
(treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type EP1, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type EP2, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type EP4, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type FP, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Osteoclast
(vacuolar ATPase inhibitor as bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT AIDS (disease)
(wasting, treatment of; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Muscle, disease
(weakness, treatment; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Integrins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(αvβ3, receptor antagonist, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Transforming growth factors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Peroxisome proliferator-activated receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ, an activator of; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 47931-85-1, Salmon calcitonin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(administered as nasal spray, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 9002-64-6, Parathyroid hormone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 50-28-2, 17β-Estradiol, biological studies 53-16-7, Estrone, biological studies 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 911-45-5, Clomiphene 1845-11-0, Nafoxidene 2809-21-4 4717-38-8, 17β-Ethynyl estradiol 5863-35-4, CI-628 7681-49-4, Sodium fluoride, biological studies 9007-12-9, Calcitonin 10540-29-1, TAMOXIFEN 10596-23-3 13598-36-2D, Phosphonic acid, alkylidene-bis-derivs. 15690-55-8, Zuclomiphene 15690-57-0, Enclomiphene 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 50948-44-2, U-11, biological studies 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 62031-54-3, Fibroblast growth factor 66376-36-1, Alendronate 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol **104121-92-8**, **ED71** 105462-24-6 112965-21-6, Calcipotriol 114084-78-5, Ibandronate 116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2 121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A 198481-33-3, Tse-424 205944-50-9, Osteoprotegerin 260055-05-8, Alendronate monosodium monohydrate 287714-41-4, Rosuvastatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 1406-16-2, Vitamin D 12001-79-5, Vitamin K
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(derivative, bone strengthening agents as adjuvant therapeutics; preparation of
fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 471-34-1, Calcium carbonate, biological studies 7693-13-2, Calcium citrate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dietary calcium supplement as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 9002-72-6, Growth hormone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(human growth hormone or secretagogue as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 165245-96-5, P38 Kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 94716-09-3, Cathepsin K
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 9028-35-7, HMG-CoA reductase
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 127464-60-2, Vascular endothelial growth factor
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors of VEFG binding to osteoclast receptors as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 606100-92-9P 606100-93-0P 606100-94-1P 606100-95-2P 606100-96-3P
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606101-36-4P 606101-37-5P 606101-39-7P 606101-40-0P 606101-42-2P
606101-44-4P 606101-46-6P 606101-47-7P 606101-49-9P 606101-50-2P
606101-52-4P 606101-54-6P 606101-55-7P 606101-57-9P 606101-58-0P
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606101-65-9P 606101-66-0P 606101-67-1P 606101-68-2P 606101-69-3P
606101-70-6P 606101-71-7P 606101-72-8P 606101-73-9P 606101-74-0P
606101-75-1P 606101-76-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 88-17-5, 2-Trifluoromethylaniline 89-99-6, 2-Fluorobenzylamine 2127-03-9 86283-81-0 96692-02-3 133745-75-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide

derivs. as androgen receptor modulators and their therapeutic uses)
IT 76763-16-1P 606101-77-3P 606101-78-4P 606101-79-5P 606101-80-8P
606101-85-3P 606101-87-5P 606101-89-7P 606101-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Brooks, J; Steroids 1986, V47, P1 HCAPLUS
(2) King; US 5187278 A 1993 HCAPLUS
(3) Rasmusson, G; J Med Chem 1986, V29, P2298 HCAPLUS
IT **104121-92-8, ED71**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
RN 104121-92-8 HCAPLUS
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Absolute stereochemistry. Rotation (+).
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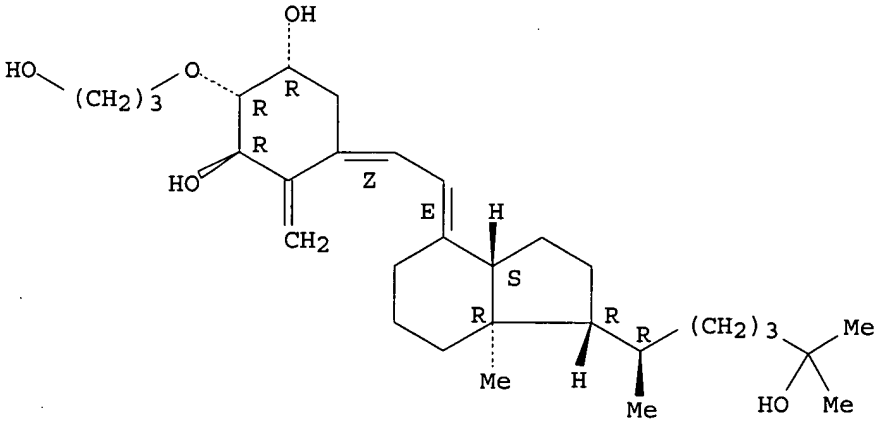

L37 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:261603 HCAPLUS
DN 138:281598
ED Entered STN: 04 Apr 2003
TI Androstane compounds as androgen receptor (AR) modulators for the treatment of AR-related diseases
IN Wang, Jiabing
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT **Patent**
LA English
IC ICM A61K
CC 2-4 (Mammalian Hormones)
Section cross-reference(s): 32
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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     US 2004235808       A1    20041125    US 2004-489072         20040308 <--
PRAI US 2001-324124P     P     20010921  <--
     WO 2002-US29436     W     20020917
CLASS
 PATENT NO.       CLASS  PATENT FAMILY CLASSIFICATION CODES
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 WO 2003026568    ICM    A61K
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                         A61K031/569+M; A61K031/58; A61K031/58+M; A61K031/663+M;
                         A61K045/06; C07J001/00C4B1; C07J041/00C3; C07J043/00B
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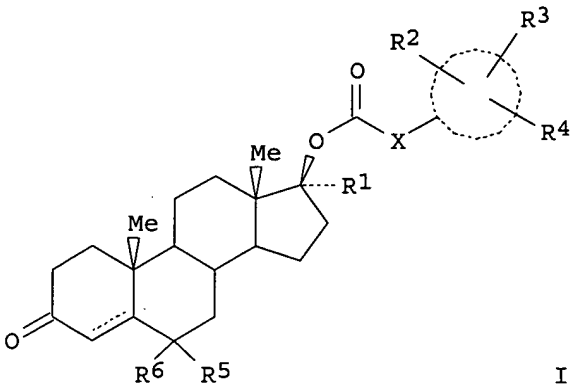


I

AB Compds. of structural formula (I) as herein defined are claimed as useful in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those compds. with bone-strengthening agents are also claimed.

ST androstane treatment androgen receptor modulation bone strengthening

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(6; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(7; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT AIDS (disease)
(HIV-wasting; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Insulin-like growth factor-binding proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(IGFBP-3; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT **Skin, disease**
(**aging**; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Anemia (disease)
Autoimmune disease
Bone
Human
Muscle
Muscular dystrophy
Osteoporosis
Periodontium, disease
Prostate gland
Uterus
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Androgens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Antiarthritics
Anticholesteremic agents
Antitumor agents
Arthritis
Atherosclerosis
Hypercholesterolemia
Hypolipemic agents
Kidney, neoplasm
Pancreas, neoplasm
Prostate gland, neoplasm
(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Antiestrogens
Bone morphogenetic proteins
Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonism, inhibitors of; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Vascular endothelial growth factor receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonists, osteoclast receptors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Antiarteriosclerotics
(antiatherosclerotics; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Anemia (disease)
(aplastic; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Disease, animal
(arthropathy; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Injury
(bone, following reconstructive surgery; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(calcium, antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Cachexia
(cancerous; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugated; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Estrogens
Prostaglandins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(derivs.; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Joint, anatomical
(disease; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Hematopoiesis
(disorders; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(equine; androstane compds. as androgen receptor (AR) modulators in

conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Ovary, disease
(failure, premature; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Reproduction, animal
(female, disorder; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease
(fracture; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Osteoporosis
(glucocorticoid-induced; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Reproductive tract, disease
(hypogonadism, male; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease
(injury, following reconstructive surgery; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Osteoclast
(integrin αvβ3 antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modulators; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Integrins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osteoclast, antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease
(osteopenia; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Menopause
(postmenopause, symptoms; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Androgens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(replacement therapy; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Muscle
(sarcopenia; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Estrogen receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(selective modulators; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP1, agonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP2, agonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type EP4, agonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type FP, agonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Prostanoid receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(type IP, agonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Disease, animal
(wasting, HIV-wasting; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Integrins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(αvβ3, osteoclast, antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Transforming growth factors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Peroxisome proliferator-activated receptors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ, activators; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 13598-36-2D, Phosphonic acid, alkylidenebis- derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Bisphosphonate; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment

of AR-related diseases)

IT 58-22-0, Testosterone 100-07-2, 4-Methoxybenzoyl chloride 122-01-0, 4-Chlorobenzoyl chloride 312-94-7, 2-Trifluoromethylbenzoyl chloride 393-52-2, 2-Fluorobenzoyl chloride 403-43-0, 4-Fluorobenzoyl chloride 609-65-4, 2-Chlorobenzoyl chloride 618-46-2, 3-Chlorobenzoyl chloride 933-88-0, 2-Methylbenzoyl chloride 1711-05-3, 3-Methoxybenzoyl chloride 1711-07-5, 3-Fluorobenzoyl chloride 2251-65-2, 3-Trifluoromethylbenzoyl chloride 4755-50-4, 4-Dimethylaminobenzoyl chloride 6068-72-0, 4-Cyanobenzoyl chloride 10400-19-8, Nicotinoyl chloride 14254-57-0, Isonicotinoyl chloride 21615-34-9, 2-Methoxybenzoyl chloride 29745-44-6, Picolinoyl chloride
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT 668-56-4P 36025-88-4P 36025-89-5P 92010-63-4P 122747-09-5P 502968-30-1P 502968-31-2P 502968-32-3P 502968-33-4P 502968-34-5P 502968-35-6P 502968-36-7P 502968-37-8P 502968-38-9P 502968-39-0P 502968-40-3P 502968-41-4P 502968-42-5P 502968-43-6P 502968-44-7P 502968-45-8P 502968-46-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT 50-28-2, 17β-Estradiol, biological studies 53-16-7, Estrone, biological studies 57-83-0, Progestin, biological studies 57-83-0D, Progestin, derivs. 64-96-0, U 11555A 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 436-52-2, U 11555A 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17β-Ethynyl estradiol 5863-35-4, CI-628 7440-70-2, Calcium, biological studies 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analogs 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclomiphene 15690-57-0, Enclomiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human parathormone 1-34 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 62031-54-3, Fibroblast growth factor 63132-39-8 66376-36-1 67763-96-6, IGF I 67763-97-7, IGF II 68893-82-3, Human parathormone 1-84 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol **104121-92-8**, **ED71** 105462-24-6 106096-92-8, Acidic Fibroblast Growth Factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5 116057-75-1, Idoxifene 118072-93-8 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 124351-85-5 125946-91-0 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A 193830-08-9, GDF5 198481-33-3, TSE 424 287714-41-4, Rosuvastatin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 9028-35-7, HMG-CoA reductase 94716-09-3, Cathepsin K 165245-96-5, P38 Kinase
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

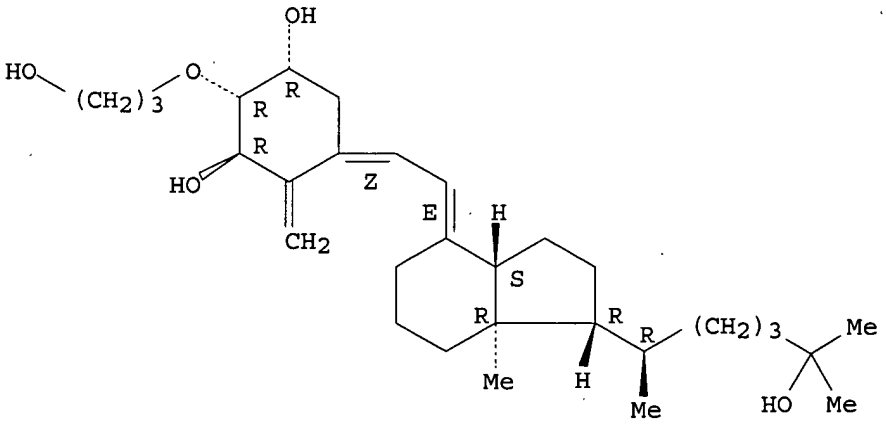
IT 9000-83-3, Vacuolar ATPase
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(proton-translocating, osteoclast vacuolar ATPase inhibitors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 9002-72-6, Growth hormone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(secretagogues; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT **104121-92-8, ED71**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:636041 HCAPLUS
DN 135:195700
ED Entered STN: 31 Aug 2001
TI Preparation of vitamin D derivatives having substituents at the 2α-position
IN Takayama, Hiroaki; Kittaka, Atsushi; Suhara, Yoshitomo; Fujishima, Toshie
PA **Chugai Seiyaku Kabushiki Kaisha, Japan**

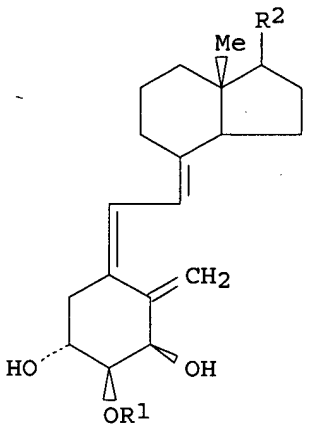
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
IC ICM C07C401-00
ICS A61K031-59; A61P003-02
CC 32-7 (Steroids)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001062723	A1	20010830	WO 2001-JP1451	20010227	<--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	AU 2001034189	A5	20010903	AU 2001-34189	20010227	<--
	EP 1260502	A1	20021127	EP 2001-906339	20010227	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	US 2003022872	A1	20030130	US 2002-220146	20020827	<--
PRAI	JP 2000-50915	A	20000228	<--		
	WO 2001-JP1451	W	20010227	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2001062723	ICM	C07C401-00	
	ICS	A61K031-59; A61P003-02	
WO 2001062723	ECLA	A61K031/59; C07C401/00+IPC	<--
US 2003022872	NCL	514/167.000	<--

OS CASREACT 135:195700; MARPAT 135:195700
GI

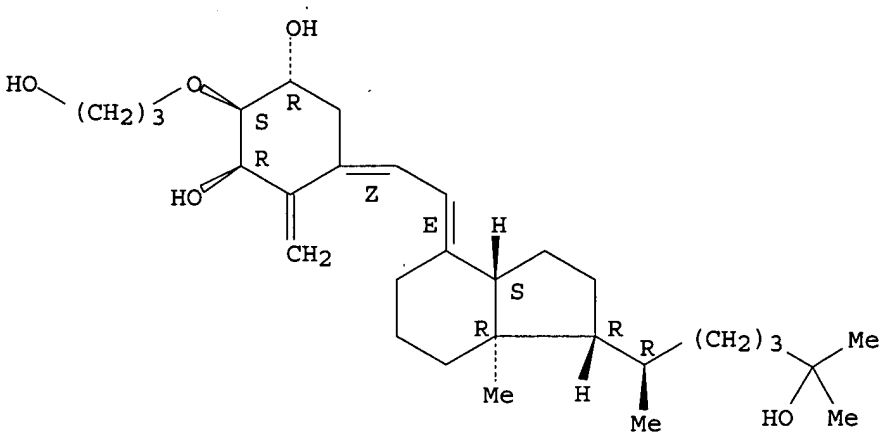


I

AB Title compds. [I; R1, R2 independently = hydroxyalkyl, alkyl] are prepared Thus, the title compound I (R1 = CH(CH3)CH2CH2CH2C(CH3)2OH; R2 = (CH2)3OH) was prepared and biol. tested for bovine thymus VDR (vitamin D receptor)

binding affinity.
ST vitamin D analog prepn
IT Vitamin D receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of α-position-substituted vitamin D derivs.)
IT **357332-33-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2α-position-substituted vitamin D derivs.)
IT 504-63-2, 1,3-Propanediol 773-64-8, 2-Mesitylenesulfonyl chloride 1066-54-2, Trimethylsilylacetylene 18162-48-6, Tert-Butyldimethylsilyl chloride 69739-34-0, tert-Butyl dimethyl silyl triflate 71184-14-0 143705-63-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of α-position-substituted vitamin D derivs.)
IT 299410-93-8P 299410-95-0P 299410-99-4P 299411-01-1P 299411-03-3P 299411-05-5P 299411-07-7P 299411-09-9P 299411-11-3P 299411-13-5P 299411-15-7P 357332-20-8P 357332-31-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-position-substituted vitamin D derivs.)
IT 1406-16-2DP, vitamin D, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of α-position-substituted vitamin D derivs.)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Chugai Pharmaceutical Co Ltd; JP 63107929 A 1988 HCAPLUS
(2) Chugai Seiyaku Kabushiki Kaisha; JP 08259526 A HCAPLUS
(3) Chugai Seiyaku Kabushiki Kaisha; WO 9622973 A1 HCAPLUS
(4) Chugai Seiyaku Kabushiki Kaisha; EP 806413 A1 1997 HCAPLUS
(5) Chugai Seiyaku Kabushiki Kaisya; JP 08259526 A HCAPLUS
(6) Chugai Seiyaku Kabushiki Kaisya; WO 9622973 A1 HCAPLUS
(7) Chugai Seiyaku Kabushiki Kaisya; EP 806413 A1 1997 HCAPLUS
(8) Kittaka; Org Lett 2000, V2(17), P2619 HCAPLUS
(9) Kittaka; Org Lett 2000, V2(17), P2619 HCAPLUS
IT **357332-33-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2α-position-substituted vitamin D derivs.)
RN 357332-33-3 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2α,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:167812 HCAPLUS
DN 134:212745
ED Entered STN: 09 Mar 2001
TI Soft capsules comprising vitamin D3 derivatives
IN Iida, Yoshimitsu; Ogawa, Yutaka
PA **Chugai Seiyaku Kabushiki Kaisha, Japan**
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
IC ICM A61K031-593
ICS A61K009-48; A61K047-02; A61K047-14; A61K047-34; A61K047-44
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001015702	A1	20010308	WO 2000-JP5922	20000831 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1208843	A1	20020529	EP 2000-956845	20000831 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	TW 561047	B	20031111	TW 2000-89117822	20000831 <--
	US 6893658	B1	20050517	US 2002-69755	20000831 <--
	HK 1047054	A1	20050415	HK 2002-108800	20021203 <--
PRAI	JP 1999-244828	A	19990831	<--	
	WO 2000-JP5922	W	20000831	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001015702	ICM	A61K031-593
	ICS	A61K009-48; A61K047-02; A61K047-14; A61K047-34; A61K047-44

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 WO 2001015702    ECLA   A61K009/48H4; A61K031/59P; A61K031/593              <--
 EP 1208843       ECLA   A61K009/48H4; A61K031/593                           <--
 US 6893658       NCL    424/456.000; 424/646.000; 424/648.000; 514/962.000;
                         514/972.000
                  ECLA   A61K009/48H4; A61K031/59P; A61K031/593              <--
AB   Soft capsules of activated vitamin D3 homologs which are made of materials
     highly safe to the human body, have assured light stability and heat
     stability, allow easy differentiation of the contents of active
     ingredients, and can be appropriately produced in practice.  These soft
     capsules of activated vitamin D3 homologs, such as 1α-hydroxyvitamin
     D3, contain, in the drug coating, a white pigment and yellow iron oxide
     and/or red iron oxide, or titanium oxide and caramel, or yellow iron
     oxide.
ST   soft capsule vitamin D3 deriv pigment
IT   Drug delivery systems
        (capsules, soft; stable soft capsules comprising vitamin D3 derivs.)
IT   Caramel (color)
        (stable soft capsules comprising vitamin D3 derivs.)
IT   Glycerides, biological studies
     Polyoxyalkylenes, biological studies
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (stable soft capsules comprising vitamin D3 derivs.)
IT   Fats and Glyceridic oils, biological studies
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (vegetable; stable soft capsules comprising vitamin D3 derivs.)
IT   57-55-6D, Propylene glycol, diesters with fatty acids   102-76-1,
     Triacetin   1309-37-1, Red iron oxide, biological studies
     13463-67-7, Titanium oxide, biological studies   19356-17-3,
     25-Hydroxyvitamin D3   25322-68-3, Polyethylene glycol   32222-06-3,
     1α, 25-Dihydroxyvitamin D3   41294-56-8, 1α-Hydroxyvitamin D3
     51274-00-1, Yellow iron oxide   58239-34-2, 24-Hydroxyvitamin D3
     60965-80-2, 1α, 24-Dihydroxyvitamin D3   72203-93-1, 1α, 24,
     25-Trihydroxyvitamin D3   103909-75-7, 22-Oxa-1α,25-dihydroxyvitamin
     D3 104121-92-8, 2β-(3-Hydroxypropoxy)-1α,25-
     dihydroxyvitamin D3
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (stable soft capsules comprising vitamin D3 derivs.)
RE.CNT  13    THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Bayer A G; ES 2001945 A HCAPLUS
(2) Bayer A G; DE 3532129 A HCAPLUS
(3) Bayer A G; US 4693892 A HCAPLUS
(4) Bayer A G; JP 6268860 A
(5) Bayer A G; AU 8662159 A HCAPLUS
(6) Bayer A G; EP 221277 A2 1987 HCAPLUS
(7) Fuso Yakuhin Kogyo K K; JP 01157911 A 1989 HCAPLUS
(8) Parke Davis K K; JP 55141242 A 1980 HCAPLUS
(9) Parke Davis K K; JP 55141242 A 1980 HCAPLUS
(10) Takeda Chem Ind Ltd; JP 52151724 A 1977 HCAPLUS
(11) Takeda Chem Ind Ltd; JP 52151724 A 1977 HCAPLUS
(12) Toyo Jozo K K; JP 63166824 A 1988 HCAPLUS
(13) Toyo Jozo K K; JP 63166824 A 1988 HCAPLUS
IT   13463-67-7, Titanium oxide, biological studies 104121-92-8
     , 2β-(3-Hydroxypropoxy)-1α,25-dihydroxyvitamin D3
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (stable soft capsules comprising vitamin D3 derivs.)
RN   13463-67-7  HCAPLUS
CN   Titanium oxide (TiO2) (8CI, 9CI)  (CA INDEX NAME)
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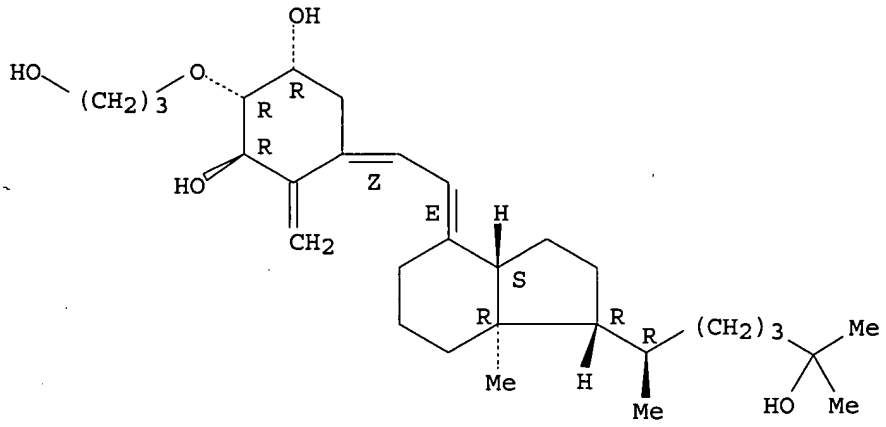
O═Ti═O

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:464924 HCAPLUS
DN 133:99575
ED Entered STN: 11 Jul 2000
TI Vitamin D3 derivatives for treatment of inflammatory respiratory diseases
IN Takenouchi, Kazuya; Mihashi, Hiroaki; Ota, Tomohiro; Hamamura, Ichiro; Takano, Yasuhiro
PA Teijin Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM A61K031-593
ICS A61P011-00; A61P011-02; A61P011-06; A61P011-04; A61P029-00
CC 1-9 (Pharmacology)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000191537	A2	20000711	JP 1998-367556	19981224 <--
PRAI JP 1998-367556		19981224 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 2000191537	ICM	A61K031-593
	ICS	A61P011-00; A61P011-02; A61P011-06; A61P011-04; A61P029-00

AB 1α-Hydroxyvitamin D3, 1α,24(R)-dihydroxyvitamin D3 (I), 1α,25-dihydroxyvitamin D3, 1α,24,25-trihydroxyvitamin D3, 24,24-difluoro-1α,25-dihydroxyvitamin D3, 26,26,26,27,27,27-hexafluoro-1α,25-dihydroxyvitamin D3, 22-oxa-1α,25-dihydroxyvitamin D3, 22-dehydro-1α,24(S)-dihydroxyvitamin D3-25,26,27-cyclopropane, 20-epi-22-oxa-24a,26a,27a-trihomo-1α,25-

dihydroxyvitamin D3, 16-en-23-yne-1α,25-dihydroxyvitamin D3, 2β-(3-hydroxypropoxy)-1α,25-dihydroxyvitamin D3, or their pharmacol. acceptable solvates are useful for treatment of pulmonary emphysema, pneumonia, asthma, etc. I p.o. suppressed neutrophile infiltration with ED50 of 8.6 μg/kg in LPS-induced pneumonia in hamsters.

ST vitamin D3 treatment inflammatory respiratory disease

IT Anti-inflammatory agents
(nonsteroidal; vitamin D3 derivs. for treatment of inflammatory respiratory diseases)

IT **Allergy inhibitors**
Antiasthmatics
(vitamin D3 derivs. for treatment of inflammatory respiratory diseases)

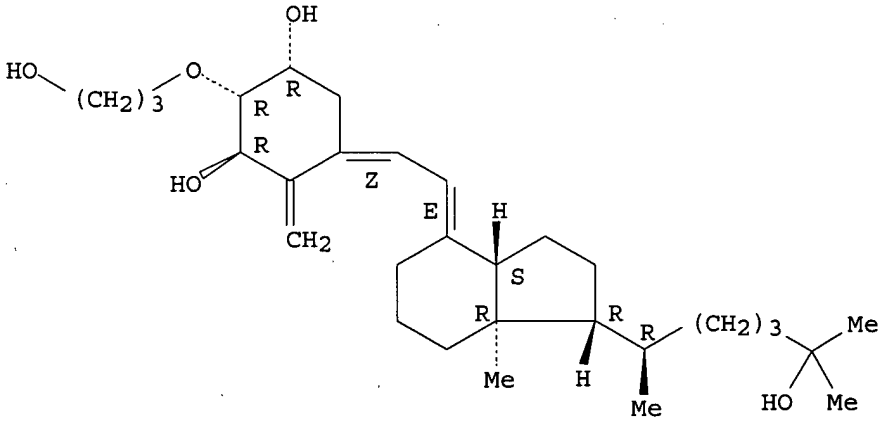
IT 32222-06-3, 1α,25-Dihydroxyvitamin D3 41294-56-8, 1α-Hydroxyvitamin D3 57333-96-7 72203-93-1, 1α,24,25-Trihydroxyvitamin D3 72696-49-2 83805-11-2 103909-75-7, 22-Oxa-1α,25-dihydroxyvitamin D3 **104121-92-8**, 2β-(3-Hydroxypropoxy)-1α,25-dihydroxyvitamin D3 118694-43-2 131875-08-6 282543-22-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin D3 derivs. for treatment of inflammatory respiratory diseases)

IT **104121-92-8**, 2β-(3-Hydroxypropoxy)-1α,25-dihydroxyvitamin D3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin D3 derivs. for treatment of inflammatory respiratory diseases)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:761888 HCAPLUS

DN 130:20596

ED Entered STN: 04 Dec 1998

TI Cyclic ether vitamin D3 compounds, 1α-hydroxy-3-epivitamin D3 compounds and uses thereof

IN Reddy, Satayanarayana G.
PA Women & Infant's Hospital, USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT **Patent**
LA English
IC ICM C07D309-06
ICS C07C401-00; A61K031-045
CC 1-12 (Pharmacology)
Section cross-reference(s): 2, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9851678	A1	19981119	WO 1998-US10062	19980515	<--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	CA 2289209	AA	19981119	CA 1998-2289209	19980515	<--
	AU 9874936	A1	19981208	AU 1998-74936	19980515	<--
	AU 743514	B2	20020124			
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	JP 2002505668	T2	20020219	JP 1998-549630	19980515	<--
	US 6121312	A	20000919	US 1999-410223	19990930	<--
	US 6479538	B1	20021112	US 2000-617881	20000717	<--
	US 2003125309	A1	20030703	US 2002-188320	20020701	<--
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	US 1998-79942	A3	19980515	<--		
	WO 1998-US10062	W	19980515	<--		
	US 2000-617881	A1	20000717	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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WO 9851678	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06	<--
US 6100294	NCL	514/451.000; 514/460.000; 549/416.000; 552/653.000	
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04	<--
US 6121312	NCL	514/451.000; 514/460.000; 549/356.000; 549/416.000; 549/417.000; 549/428.000	
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06	<--
US 6479538	NCL	514/451.000; 424/562.000; 424/573.000; 424/577.000; 514/460.000; 549/423.000	
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06	<--
US 2003125309	NCL	514/167.000	
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06	<--

OS MARPAT 130:20596
AB Novel cyclic ether vitamin D3 compds. having a cyclic ether side chain are disclosed. These compds. were first identified as metabolites of

3-epivitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1α-hydroxy-3-epivitamin D3 compds., which are produced via the epimerization of a 3-β-hydroxyl group of 1α-hydroxy-3-vitamin D3 precursor in vivo. The vitamin D3 compds. of the present invention can be used as substitutes for natural and synthetic vitamin D3 compds.

ST vitamin D3 cyclic ether therapeutics; skin hyperproliferative disorder vitamin D3 cyclic ether; endocrine disorder vitamin D3 cyclic ether; osteoporosis vitamin D3 cyclic ether; osteodystrophy vitamin D3 cyclic ether; hyperparathyroidism vitamin D3 cyclic ether; cirrhosis vitamin D3 cyclic ether; osteosarcoma vitamin D3 cyclic ether

IT Endocrine system
(disease; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT **Skin**
(hyperproliferative disorders; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Bone, neoplasm
(osteosarcoma, inhibitors; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Bone, neoplasm
(osteosarcoma, vitamin D3 cyclic ether metabolism; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Antitumor agents
(osteosarcoma; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Bone, disease
Cirrhosis
Drug delivery systems
Hyperparathyroidism
(therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Osteoporosis
(therapeutic agents; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT 7440-70-2, Calcium, biological studies 14265-44-2, Phosphate, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT 67-97-0 19356-17-3 32222-06-3 41294-56-8 42737-58-6 42737-59-7
55721-11-4 56142-94-0 56142-95-1 57333-95-6 57333-96-7
60133-18-8 63819-59-0 63819-61-4 64164-40-5 65120-25-4
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87680-15-7 91625-75-1 91874-90-7 95270-41-0 95270-42-1
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216245-40-8 216245-45-3 216245-50-0 216246-06-9 216246-23-0
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic activity of cyclic ether vitamin D3 compds.,)

IT 216246-78-5 216246-81-0 216246-82-1 216246-84-3 216246-85-4
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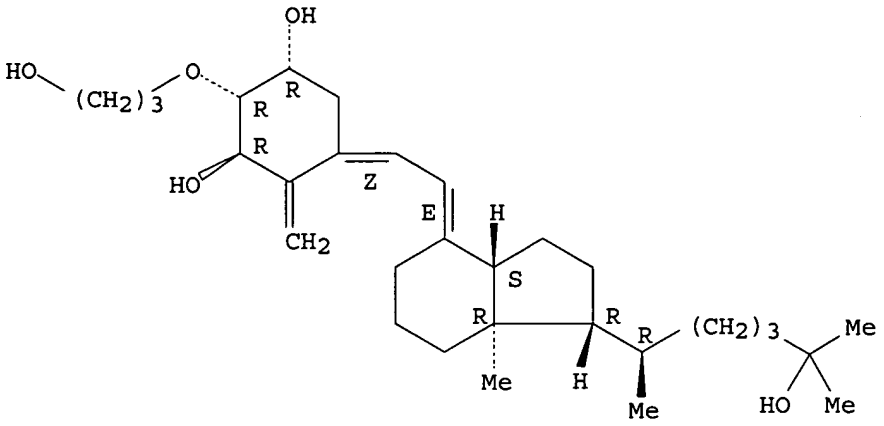
216383-29-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic activity of cyclic ether vitamin D3 compds.,)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Muralidharan, K; JOURNAL OF ORGANIC CHEMISTRY 1993, V58(7), P1895 HCAPLUS
(2) Okamura, W; JOURNAL OF ORGANIC CHEMISTRY 1978, V43(4), P574 HCAPLUS
(3) Scheddin, D; STEROIDS 1996, V61(10), P598 HCAPLUS

IT **104121-92-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic activity of cyclic ether vitamin D3 compds.,)

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:55613 HCAPLUS
DN 128:128182
ED Entered STN: 30 Jan 1998
TI Crystals of vitamin D derivatives and process for the preparation thereof
IN Yamauchi, Tsuyoshi
PA **Chugai Seiyaku K. K., Japan**; Yamauchi, Tsuyoshi
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
IC ICM C07C401-00
ICS C07J009-00
CC 32-7 (Steroids)
Section cross-reference(s): 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9800397	A1	19980108	WO 1997-JP2060	19970616 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 10072432	A2	19980317	JP 1997-155140	19970612 <--
JP 3429432	B2	20030722		
TW 584627	B	20040421	TW 1997-86108125	19970612 <--
CA 2259339	AA	19980108	CA 1997-2259339	19970616 <--
AU 9731073	A1	19980121	AU 1997-31073	19970616 <--
AU 717568	B2	20000330		
EP 924199	A1	19990623	EP 1997-926253	19970616 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1223639	A	19990721	CN 1997-196017	19970616 <--
IL 127861	A1	20040601	IL 1997-127861	19970616 <--
US 2002111503	A1	20020815	US 1998-202144	19981209 <--
US 6448421	B2	20020910		
KR 2000022113	A	20000425	KR 1998-710523	19981222 <--
US 2003018206	A1	20030123	US 2002-193247	20020712 <--

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     US 6831183            B2    20041214
     US 2005009794         A1    20050113    US 2004-821973         20040412 <--
PRAI JP 1996-171321        A     19960701  <--
     WO 1997-JP2060        W     19970616  <--
     US 1998-202144        A3    19981209  <--
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                  ICS    C07J009-00
 WO 9800397       ECLA   C07C401/00; C07J009/00; C07J071/00B1              <--
 EP 924199        ECLA   C07C401/00; C07J009/00; C07J071/00B1              <--
 US 2002111503    NCL    552/653.000                                       <--
 US 2003018206    NCL    552/541.000
                  ECLA   C07C401/00; C07J009/00; C07J071/00B1              <--
 US 2005009794    NCL    514/169.000
                  ECLA   C07C401/00; C07J009/00; C07J071/00B1              <--
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Crystalline title compound I was prepared by purifying the crude or roughly purified compound by reversed phase chromatog. and crystallization of the purified derivs. in organic solvents. This purification process for vitamin D derivs. enables the constant and mass supply of high-purity vitamin D derivs., particularly **ED-71.** Thus, epoxide II was reacted with 1,3-propanediol in the presence of potassium tert-butoxide to give the tetraol III, which was irradiated with a 400W Hg lamp followed by refluxing in THF to give the ring cleavage product IV, which was eluted over a DIACH ROMA ODS N-20 column with MeCN to give 36% I.

ST cryst vitamin D deriv prepn

IT Crystal structure
(preparation of crystalline a vitamin D derivative and its properties)

IT **104121-92-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline a vitamin D derivative and its properties)

IT 504-63-2, 1,3-Propanediol 151546-04-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystalline a vitamin D derivative and its properties)

IT 151546-09-7P 201854-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystalline a vitamin D derivative and its properties)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

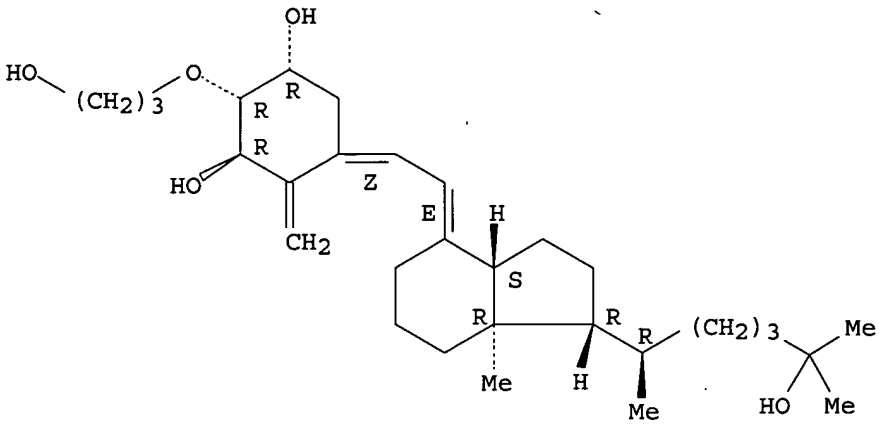
(1) Anon; Bioorganic & Medicinal Chemistry Letters 1994, V4(12), P1523
(2) Chugai Pharmaceutical Co Ltd; JP 07-173133 A 1995 HCAPLUS
(3) Eisai Co Ltd; JP 51-15609 A 1976 HCAPLUS
(4) Nisshin Flour Milling Co Ltd; JP 07-112998 A 1995 HCAPLUS

IT **104121-92-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline a vitamin D derivative and its properties)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:569660 HCAPLUS
DN 125:196104
ED Entered STN: 25 Sep 1996
TI Preparation of 2-substituted vitamin D3 derivatives for increased calcium absorption
IN Ono, Yoshiyuki
PA **Chugai Seiyaku Kabushiki Kaisha, Japan**
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
IC ICM C07C401-00
ICS A61K031-59
CC 32-7 (Steroids)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9622973	A1	19960801	WO 1996-JP91	19960122 <--
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 08259526	A2	19961008	JP 1996-38649	19960119 <--
	CA 2210106	AA	19960801	CA 1996-2210106	19960122 <--
	AU 9644592	A1	19960814	AU 1996-44592	19960122 <--
	EP 806413	A1	19971112	EP 1996-900724	19960122 <--
	EP 806413	B1	20011212		
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	AT 210642	E	20011215	AT 1996-900724	19960122 <--
	PT 806413	T	20020328	PT 1996-900724	19960122 <--
	ES 2169220	T3	20020701	ES 1996-900724	19960122 <--
	US 5883271	A	19990316	US 1997-875292	19971008 <--
PRAI	JP 1995-42245	A	19950123 <--		
	WO 1996-JP91	W	19960122 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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WO 9622973	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1	<--
EP 806413	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1	<--
US 5883271	NCL	552/653.000	
	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1	<--

OS MARPAT 125:196104
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1, R2 = the same or different and each represents C1-4 alkyl; R3 = C1-7 alkoxy optionally substituted by hydroxy, halo, cyano, C1-4 alkoxy, amino or acylamino; provided that R1 and R2 do not represent Me at the same time] are prepared Thus, 1α,2α-epoxy-3β-hydroxy-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene was reacted with 1,3-propanediol in the presence of t-BuOK to give 1α,3β-dihydroxy-2β-(3-hydroxypropoxy)-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene, which was reacted with EtMgBr and the product was irradiated with a 400W high pressure Hg lamp for 90 s to give the title compound II [R1 = R2 = Et]. In an in vitro study using which were fed with feed containing 1.2% calcium, this at 0.04 μg/Kg increased bone d. (not quantified) compared with the control.

ST vitamin D3 deriv prepn calcium absorption

IT Bone
(d.; preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT **181128-84-7P 181128-88-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT 504-63-2, 1,3-Propanediol 925-90-6, Ethylmagnesium bromide 927-77-5, Propylmagnesium bromide 7440-70-2, Calcium, reactions 69739-34-0, tert-Butyldimethylsilyl triflate 99221-13-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

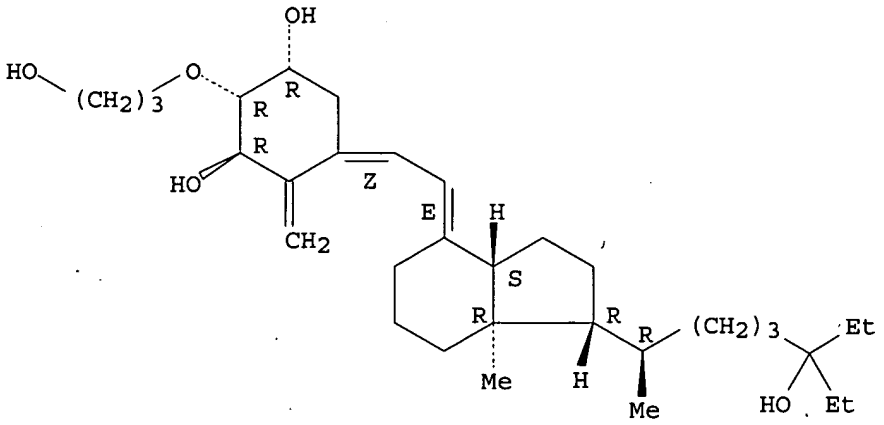
IT 181128-82-5P 181128-83-6P 181128-85-8P 181128-86-9P 181128-87-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT **181128-84-7P 181128-88-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

RN 181128-84-7 HCAPLUS

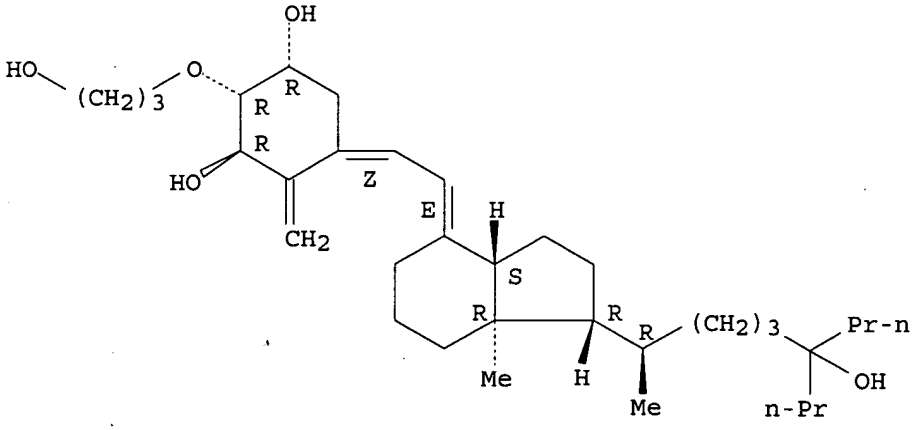
CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 181128-88-1 HCAPLUS
CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[(2E)-[(1R,3aS,7aR)-octahydro-1-[(1R)-5-hydroxy-1-methyl-5-propyloctyl]-7a-methyl-4H-inden-4-ylidene]ethylidene]-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L37 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:211898 HCAPLUS
DN 124:307616
ED Entered STN: 13 Apr 1996
TI Bone consolidants containing steroid compounds
IN Yamamoto, Yoshizo; Sato, Katsuhiko
PA **Chugai Pharmaceutical Co Ltd, Japan**
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

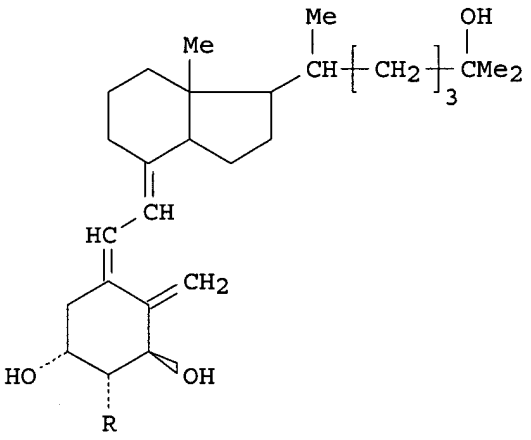
DT Patent
LA Japanese
IC ICM A61K031-59
ICS A61K031-59
CC 1-12 (Pharmacology)
Section cross-reference(s): 2
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 08012580	A2	19960116	JP 1995-125894	19950426 <--
PRAI JP 1995-125894	A	19950426 <--		
JP 1994-112007		19940427 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 08012580	ICM	A61K031-59
	ICS	A61K031-59

OS MARPAT 124:307616
GI



I

AB Bone consolidants containing steroids I [R = H, (un)substituted lower alkyl, (un)substituted lower alkoxy] as active ingredients are claimed. The bone consolidants are useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation. I [R = (CH2)3OH] dose-dependently increased bone amount in bone elongation in a rabbit and the peak of the increase in bone amount was obtained earlier than an untreated control.

ST bone consolidant dihydroxyvitamin D3 deriv
IT Bone
Wound healing promoters
(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)
IT Surgery
(bone elongation; bone consolidants containing dihydroxyvitamin D3 derivative
useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)
IT Transplant and Transplantation

(bone; bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT Bone, disease
(fracture, bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

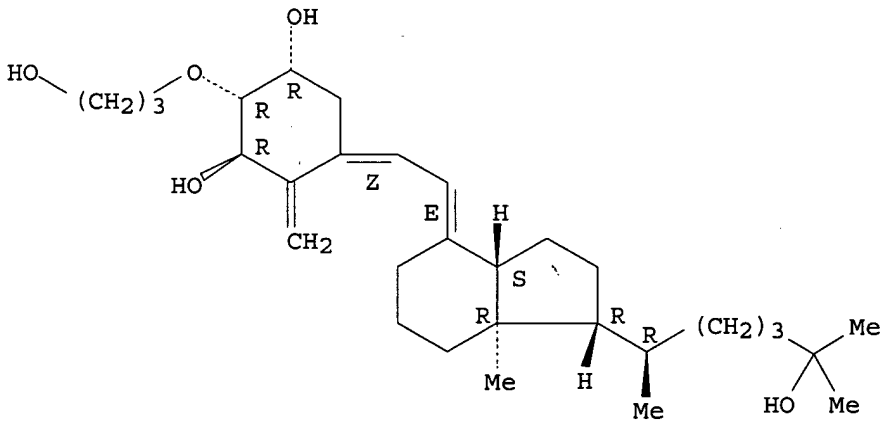
IT Bone
(transplant, bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT **104121-92-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT **104121-92-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:142232 HCAPLUS
DN 124:185587
ED Entered STN: 12 Mar 1996
TI Pharmaceutical compositions comprising vitamin D analogs
IN Kost, Joseph; Shany, Shraga; Lamprecht, Sergio A.; Segal, Carmen
PA Ben-Gurion University of the Negev, Israel
SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-59
ICS A61K009-20
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9600074	A1	19960104	WO 1995-US8005	19950622 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529980	A1	19960119	AU 1995-29980	19950622 <--
PRAI IL 1994-110117	A	19940624 <--		
WO 1995-US8005	W	19950622 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9600074	ICM	A61K031-59
	ICS	A61K009-20
WO 9600074	ECLA	A61K009/14H6; A61K009/20H6B; A61K031/59+A; A61K031/59P <--

AB A controlled-release pharmaceutical preparation comprises a Vitamin D analog in a supporting matrix, alone or together with pharmaceutically acceptable additives or active agents.

ST vitamin D analog pharmaceutical compn

IT Gelatins, biological studies
Peptides, biological studies
Phosphazene polymers
Polyanhydrides
Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising vitamin D analogs)

IT Neoplasm inhibitors
(pharmaceutical compns. comprising vitamin D analogs for cancer therapy)

IT Minerals
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(pharmaceutical compns. comprising vitamin D analogs for mineral imbalance therapy)

IT **Psoriasis**
(pharmaceutical compns. comprising vitamin D analogs for **psoriasis** therapy)

IT Intestine, neoplasm
(colon, pharmaceutical compns. comprising vitamin D analogs for cancer therapy)

IT Polyethers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ortho ester group-containing, pharmaceutical compns. comprising vitamin D analogs)

IT Proteins, specific or class
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin D-binding, pharmaceutical compns. comprising vitamin D analogs)

IT 50-00-0D, Formaldehyde, gelatin copolymers 1406-16-2D, Vitamin d,

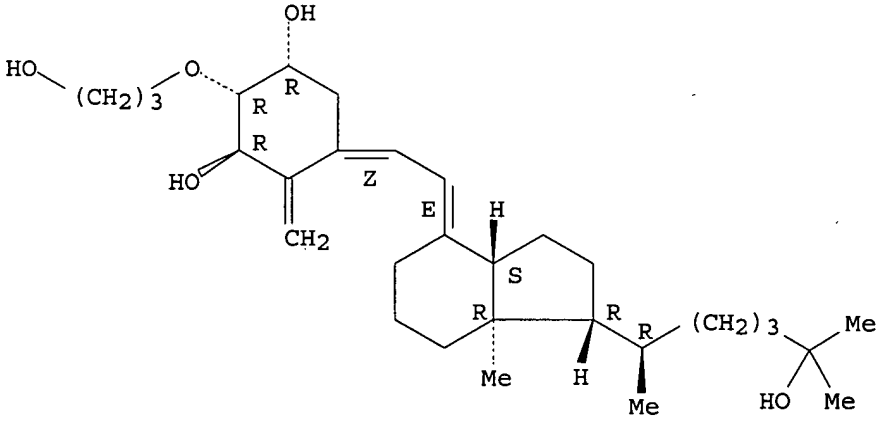
analogs 9002-89-5, Polyvinyl alcohol 9003-01-4, Polyacrylic acid 9003-05-8, Polyacrylamide 9003-39-8, Polyvinyl pyrrolidone 9003-47-8, Polyvinylpyridine 9004-32-4, Sodium carboxymethyl cellulose 9004-54-0, Dextran, biological studies 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethyl cellulose 9005-25-8, Starch, biological studies 9005-38-3, Sodium alginate 24937-72-2D, Poly(maleic anhydride), copolymers 24937-78-8, Ethylene-vinyl acetate copolymer 24980-41-4, Polycaprolactone 25034-58-6, Acrylamide N,N'-methylenebisacrylamide copolymer 25087-26-7, Polymethacrylic acid 25154-86-3, Poly(dimethylaminoethyl methacrylate) 25248-42-4, Polycaprolactone 25322-68-3, Polyethylene glycol 26009-03-0, Polyglycolic acid 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26063-00-3, Polyhydroxybutyrate 26099-09-2D, Poly(maleic acid), half esters 26100-51-6, Polylactic acid 26124-68-5, Polyglycolic acid 26744-04-7 32222-06-3, 1,25-Dihydroxyvitamin d3 41294-56-8, 1α-Hydroxyvitamin d3 54573-75-0, 1α-Hydroxyvitamin d2 57333-96-7, Tv-02 62744-35-8, Poly(sodium styrene sulfonate) 83805-11-2, St 630 **104121-92-8**, **Ed 71**
112965-21-6, Mc 903 118694-43-2, Ro 23-7553 134404-52-7, Eb 1089
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising vitamin D analogs)

IT **104121-92-8**, **Ed 71**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising vitamin D analogs)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:216682 HCAPLUS
DN 122:10366
ED Entered STN: 30 Nov 1994
TI preparation of provitamin D compounds
IN Kubodera, Noboru
PA Chungai Seihaku K K, Japan
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese

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IC   ICM  C07J009-00
     ICS  C07J075-00
CC   32-7 (Steroids)
     Section cross-reference(s): 63
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 9412522           A1    19940609    WO 1993-JP1732         19931129 <--
         W:  CA, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     JP 06340690          A2    19941213    JP 1993-339136         19931124 <--
     JP 3165576           B2    20010514
     IL 107748            A1    19980816    IL 1993-107748         19931125 <--
     CA 2150233           AA    19940609    CA 1993-2150233        19931129 <--
     CA 2150233           C     20031209
     EP 671411            A1    19950913    EP 1994-901010         19931129 <--
     EP 671411            B1    19990310
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     AT 177423            E     19990315    AT 1994-901010         19931129 <--
     ES 2130394           T3    19990701    ES 1994-901010         19931129 <--
     SG 79192             A1    20010320    SG 1996-9118           19931129 <--
     US 5874598           A     19990223    US 1996-757657         19961129 <--
PRAI JP 1992-354360       A     19921127  <--
     WO 1993-JP1732       W     19931129  <--
     US 1995-433507       B1    19950512  <--
CLASS
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 WO 9412522       ICM    C07J009-00
                  ICS    C07J075-00
 WO 9412522       ECLA   C07C401/00; C07J009/00; C07J071/00B1                <--
 EP 671411        ECLA   C07C401/00; C07J009/00; C07J071/00B1; C07J071/00C1  <--
 US 5874598       NCL    552/541.000; 540/050.000; 540/051.000; 540/060.000;
                         552/510.000
                  ECLA   C07J009/00; C07J071/00B1                            <--
OS   CASREACT 122:10366; MARPAT 122:10366
GI
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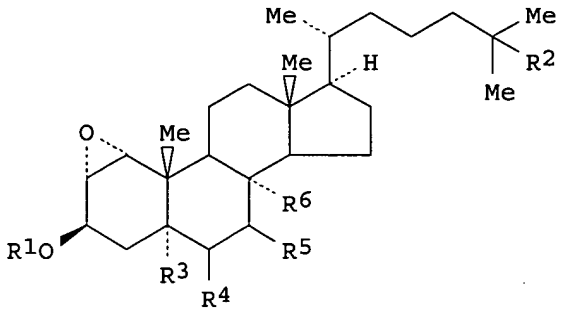
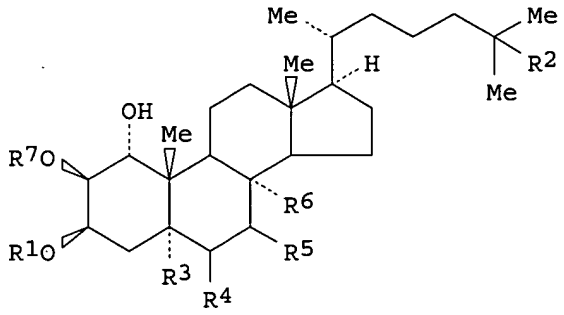


I



II

AB Reaction of the epoxy compound I [R1 = H, protecting group; R2 = H, OH; R3R4 and R5R6 each = bond, etc.] with alcs. gave the diol derivs. II [R7 = alkyl, cycloalkyl, (un)protected hydroxyalkyl]. E.g., 1α,2α-epoxy-5α,8α-(3,5-dioxo-4-phenyl-1,2,4-triazolidino)-6-cholestene-3β,25-diol in DMI was heated at 140° for 5 h to give 62% I [R1 = H, R2 = OH, R3R4 = R5R6 = bond], which was reacted with 1,3-propanediol in the presence of KOCMe3 and benzo-18-crown-6 at 110° for 4 h to give 72% II [R1-R6 same as above, R7 = HO(CH)3].

ST provitamin D prepn

IT 151546-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of provitamin D compds.)

IT 1406-16-2DP, Vitamin D, provitamin D compds.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of provitamin D compds.)

IT 151546-06-4P 151546-09-7P 159298-03-0P 159298-04-1P 159298-05-2P 159298-06-3P 159298-07-4P 159298-08-5P 159298-09-6P 159298-10-9P 159298-11-0P **159298-12-1P** 159298-13-2P **159298-14-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of provitamin D compds.)

IT 504-63-2, 1,3-Propanediol 61954-90-3 104109-72-0, 1α,2α-Epoxy-3β-hydroxy-5,7-cholestadiene
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant in preparation of provitamin D compds.)

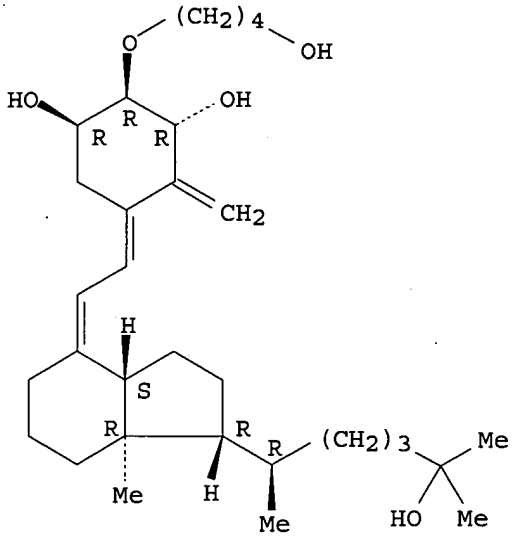
IT **159298-12-1P 159298-14-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of provitamin D compds.)

RN 159298-12-1 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,

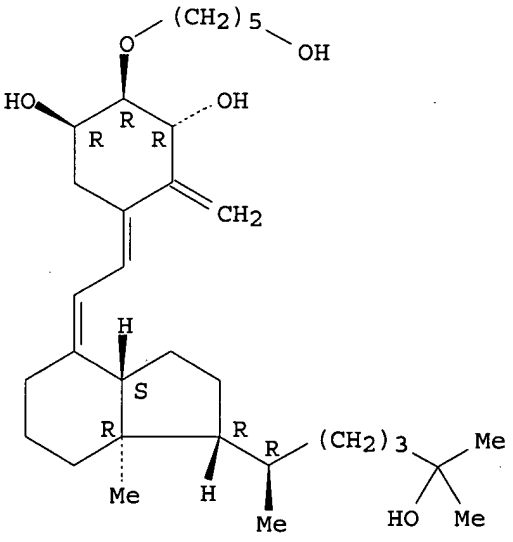
(1α,2β,3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 159298-14-3 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-, (1α,2β,3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L37 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:22468 HCAPLUS
DN 118:22468
ED Entered STN: 24 Jan 1993
TI Preparation of cyclohexanetriol derivatives as intermediates in synthesis

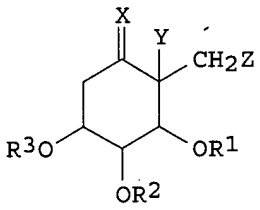
of 1-hydroxyvitamin D derivatives
IN Takahashi, Takashi; Shiono, Manzo
PA Kuraray Co., Ltd., Japan
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT **Patent**
LA English
IC ICM C07D317-46
ICS C07D311-76; C07D261-20; C07D498-04; C07D493-04; C07F007-18
CC 32-7 (Steroids)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI EP 503630	A1	19920916	EP 1992-104293	19920312	<--
EP 503630	B1	19951227			
R: CH, DK, LI, NL					
JP 06025039	A2	19940201	JP 1992-87463	19920312	<--
JP 3030157	B2	20000410			
US 5334740	A	19940802	US 1992-851943	19920313	<--
IL 101222	A1	19960331	IL 1992-101222	19920313	<--
PRAI JP 1991-73932	A	19910313	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
EP 503630	ICM	C07D317-46	
	ICS	C07D311-76; C07D261-20; C07D498-04; C07D493-04; C07F007-18	
EP 503630	ECLA	C07D261/20; C07D311/76; C07D317/46; C07D493/04+317A+311A; C07D498/04+317A+261A; C07F007/18C4D4D	<--
US 5334740	NCL	548/110.000; 548/241.000; 549/214.000; 549/289.000; 549/362.000; 560/126.000; 568/376.000	<--

OS MARPAT 118:22468
GI



I

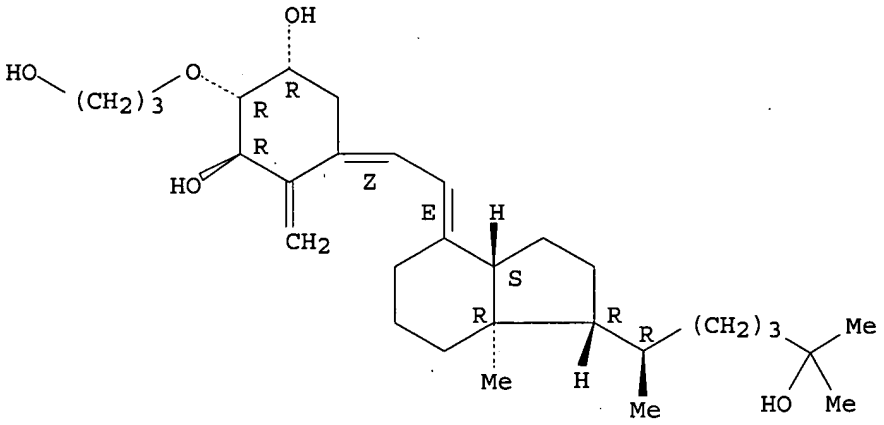
AB Title compds. I (R1, R2, R3 = H, protecting group of HO; R1R2 = Me2C: X = O, R4OCH2CH: OHCCH: R5O2CCH: wherein R4, R6 = H, protecting group of HO, R5 = alkyl; Y = H; Z = R6O; YZ = bond; XZ = ON: O(R7O)CHCH: wherein R7 = H, alkyl), are prepared 4,5-(Dimethylmethylenedioxy)-3-(methoxymethoxy)-6-heptenal oxime (preparation given) in CH2Cl2 and Et3N was added to NaOCl to give 4,5-(dimethylmethylenedioxy)-6-(methoxymethoxy-3,3a,4,5,6,7-hexahydro-2,1-benzisoxazole which is subjected to hydrogenation with Raney Ni to give I (R1R2 = Me2C: R3 = MeO, X = O, Y = H, Z = HO).
ST cyclohexanetriol prepn intermediate vitamin D; vitamin D3 analog
IT 38145-93-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with DMF dimethylacetal)
IT 144848-24-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with cyclohexylidene ethyldiphenylphosphine oxide derivative)
IT 4637-24-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with diisopropylidenemannitol)
IT 144848-25-9
RL: PROC (Process)
(conversion of, to (hydroxypropoxy)dihydroxyvitamin D3)
IT 144847-81-4
RL: PROC (Process)
(conversion of, to oxime derivative)
IT 3095-95-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with hydroxymethylcyclohexanone derivative)
IT 107-30-2, Methoxymethyl chloride 109-92-2, Ethyl vinyl ether
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification by, of hydroxyheptenenitrile derivative)
IT 41294-56-8D, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates for, cyclohexanetriol derivs. as)
IT 5470-11-1, Hydroxylamine hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(oximation by, of heptenal derivative)
IT 4541-02-0, Lithium diphenyl phosphide
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphination by, of cyclohexylidene derivative)
IT 144848-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and allylation of)
IT 144848-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
IT 144848-23-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with indenone derivative)
IT 144848-01-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to benzopyranone derivative)
IT 144848-02-2P 144848-10-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to cyclohexylidene acetate derivative)
IT 144847-94-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to diol derivative)
IT 4239-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to hexenediol)
IT 144847-87-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to methoxybenzopyrandiol derivative)
IT 144901-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to nitrile)
IT 144847-83-6P 144848-03-3P 144848-11-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)

IT 144847-70-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and epoxidn. of)
IT 144847-99-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification by, of acetyl chloride)
IT 144847-95-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification by, of acetylchloride)
IT 144848-12-4P 144848-14-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and ether cleavage of)
IT 144847-71-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and etherification of)
IT 144847-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. Wittig-Horner reaction of)
IT 4239-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and monotosylation of)
IT 144847-73-4P 144847-76-7P 144847-78-9P 144847-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
IT 144847-74-5P 144847-75-6P 144847-79-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oximation of)
IT 144847-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and phenylselenylation of)
IT 144848-22-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and phosphination of)
IT 144848-17-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protection of)
IT 144847-72-3P 144847-77-8P 144847-82-5P 144847-86-9P 144847-91-6P
144847-92-7P 144847-93-8P 144848-00-0P 144848-05-5P 144848-06-6P
144848-08-8P 144848-16-8P 144848-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT 144847-97-2P 144847-98-3P 144848-07-7P 144848-13-5P 144848-15-7P
144848-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and silylation of)
IT 144848-04-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of)
IT **104121-92-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 144847-84-7P 144847-88-1P 144847-90-5P 144847-96-1P 144848-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for synthesis of hydroxyvitamin D derivs.)
IT 144848-26-0P 144848-27-1P 144848-28-2P 144848-29-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in synthesis of hydroxyvitamin D derivs.)
IT 36637-93-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyclohexylidene acetate derivative)
IT 18162-48-6, tert-Butyldimethylsilyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(silylation by, of heptenenitrile)
IT **104121-92-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:228394 HCAPLUS
DN 116:228394
ED Entered STN: 13 Jun 1992
TI Possible roles of vitamin D-transport proteins in the expression of biological activities of vitamin D
AU Okano, Toshio
CS Dep. Hyg. Sci., Kobe Women's Coll. Pharm., Kobe, 658, Japan
SO Bitamin (**1992**), 66(2), 65-78
CODEN: BTMNA7; ISSN: 0006-386X
DT Journal
LA Japanese
CC 2-2 (Mammalian Hormones)
AB Possible role of vitamin D-transport proteins in the expression of biol. activities of vitamin D were investigated. In vitro binding studies of vitamin D and its derivs. on DBP (vitamin D-binding protein) and VDR (vitamin D receptor) have revealed that there was a significant relationship between chemical structures and binding affinities to DBP and

VDR, and suggested that it could be possible to regulate the expression of biol. activities of vitamin D by structural modification. To clarify the possibility, OCT (oxacalcitriol) and **ED 71** (2β-(3-hydroxypropoxy)-1α,25-dihydroxyvitamin D3), which were given side-chain modification or A-ring substitution on the structure of 1,25(OH)2D3 resp., were synthesized and their biol. activities were examined The results clearly demonstrated that the structural modification could strengthen or sep. the biol. activities of 1,25(OH)2D3 by changing the binding affinity to DBP. To evaluate the nutritional significance of vitamin D in human breast and cow's milk, the levels of vitamin D and its metabolites were assayed in both milks. Neither breast milk nor cow's milk contained vitamin D sulfate. The total antirachitic activities in breast and cow's milk calculated by Reeve's conversion factors were 130 IU/L equally. Furthermore, the concns. of 1,25(OH)2D3 in skin and bone marrow were very similar to that in plasma.

ST calcitriol structure binding protein biol activity; receptor calcitriol structure biol activity

IT Milk
(calcitriol and metabolites of, of human and cow, biol. activity of)

IT Bone marrow, composition
Skin, composition
(calcitriol of, blood plasma in relation to)

IT Receptors
RL: BIOL (Biological study)
(dihydroxyvitamin D3, calcitriol binding to, structure in relation to)

IT Proteins, specific or class
RL: BIOL (Biological study)
(dihydroxyvitamin D3-binding, calcitriol binding to, structure in relation to)

IT Molecular structure-biological activity relationship
(receptor-binding, vitamin D-binding protein and, of calcitriol analogs)

IT 32222-06-3, Calcitriol
RL: BIOL (Biological study)
(binding proteins regulation of biol. activity of)

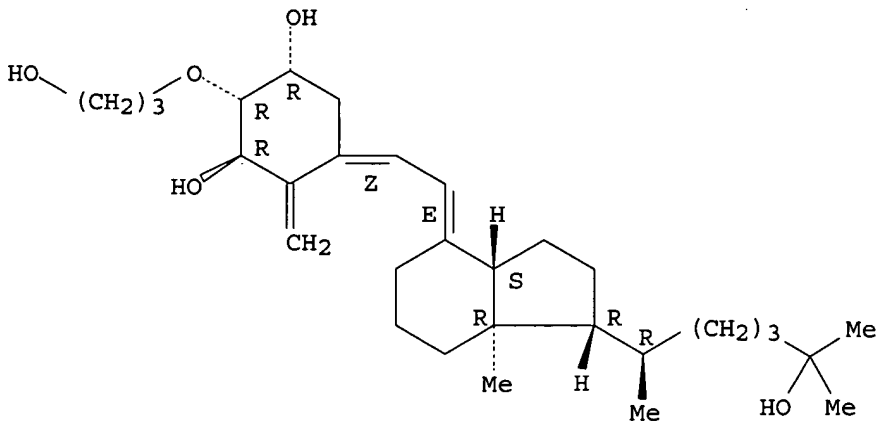
IT 103909-75-7 **104121-92-8**, **ED-71**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(biol. activity of, structure in relation to)

IT **104121-92-8**, **ED-71**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(biol. activity of, structure in relation to)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L37 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:515290 HCAPLUS
DN 105:115290
ED Entered STN: 03 Oct 1986
TI Vitamin D3 derivatives having a substituent at the 2-position
IN Miyamoto, Katsuhito; Kubodera, Noboru; Ochi, Kiyoshige; Matsunaga, Isao; Murayama, Eigoro
PA **Chugai Pharmaceutical Co., Ltd., Japan**
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT **Patent**
LA English
IC ICM C07C172-00
ICS A61K031-59
CC 32-7 (Steroids)
Section cross-reference(s): 1, 2
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 184206	A2	19860611	EP 1985-115418	19851204 <--
	EP 184206	A3	19870114		
	EP 184206	B1	19890405		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4666634	A	19870519	US 1985-800320	19851120 <--
	IL 77122	A1	19901129	IL 1985-77122	19851122 <--
	DK 8505614	A	19860606	DK 1985-5614	19851204 <--
	DK 161197	B	19910610		
	DK 161197	C	19911125		
	CN 85108857	A	19860709	CN 1985-108857	19851204 <--
	CN 1008368	B	19900613		
	AT 41924	E	19890415	AT 1985-115418	19851204 <--
	JP 61267549	A2	19861127	JP 1985-272503	19851205 <--
	JP 06023185	B4	19940330		
	CA 1330806	A1	19940719	CA 1985-496985	19851205 <--
PRAI	JP 1984-255713	A	19841205 <--		
	EP 1985-115418	A	19851204 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 184206	ICM	C07C172-00
	ICS	A61K031-59

US 4666634 NCL 552/653.000; 540/051.000; 552/541.000 <--
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1α-Hydroxyvitamin D3 derivs. I [R1 = OH, amino, alkoxy (un)substituted by OH, halo, cyano, alkoxy, amino, acylamino; R2 = H, OH] are prepared (14 examples) as Ca control and tumor differentiation-inducing agents. Thus, the epoxycholestadienol-triazolinedione Diels-Alder adduct II (R3R4 = α-epoxy) was treated with HOCH2CH2OH in the presence of p-MeC6H4SO3H to give II (R3 = β-OCH2CH2OH, R4 = α-OH). Reduction of the latter with LiAlH4 in THF gave the corresponding 5,7-diene, which was irradiated in EtOH and refluxed in THF to give I (R1 = OCH2CH2OH, R2 = H) (III). III (6.25 μg/mL/kg orally) in rats raised plasma Ca from 4.263 (control) to 5.552 mg/dL, vs. 4.798 mg/dL for 1α-hydroxyvitamin D3 (IV). III also induced the differentiation of HL-60 leukemia cells into macrophages and NBT-reduced cells with an activity comparable to that of IV.

ST vitamin D3 deriv calcium antitumor prepn; hydroxyvitamin D3 deriv calcium antitumor prepn

IT 9,10-Secosteroids
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vitamin D3 derivs., as antitumor and calcium-regulating agents)

IT Osteomalacia
Osteoporosis
(treatment of, vitamin D3 derivs. for)

IT Neoplasm inhibitors
(vitamin D3 derivs.)

IT 2916-31-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(addition reaction of, with epoxycholestadiene Diels-Alder adduct)

IT 109-78-4 110-63-4, reactions 142-26-7 504-63-2 540-51-2 1462-10-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(alcoholysis by, of epoxycholestadiene Diels-Alder adduct)

IT 54631-59-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(alcoholysis of, or reactions with triphenylphosphine or azide)

IT 7440-70-2, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of, vitamin D3 derivs. effect on)

IT 104109-72-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alcoholysis of)

IT 104109-57-1P 104109-60-6P 104109-64-0P 104109-74-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)

IT 104109-58-2P 104109-61-7P 104109-65-1P 104109-75-3P 104121-91-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and photolysis and thermolysis of)

IT 104109-56-0P 104109-59-3P 104109-62-8P 104109-63-9P 104109-66-2P
104109-67-3P 104109-68-4P 104109-69-5P 104109-70-8P 104109-71-9P
104109-73-1P 104121-90-6P **104121-92-8P** 104121-93-9P

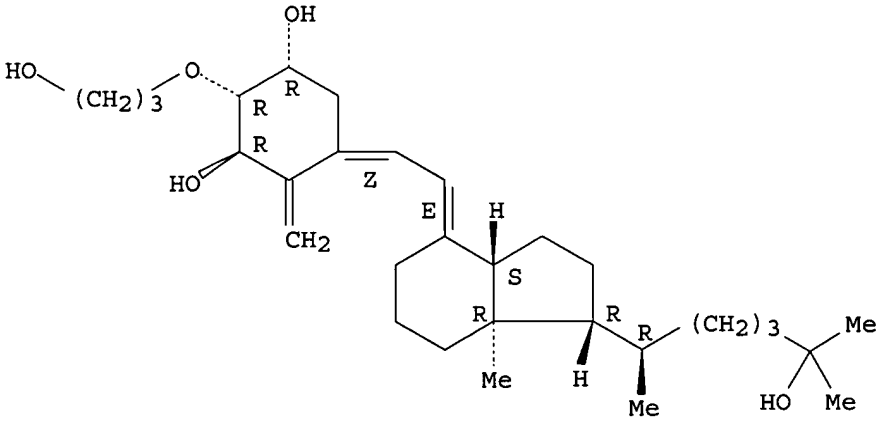
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antitumor and calcium-regulating agent)

IT 61954-90-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, alcoholysis, hydride reduction, and photolysis-thermolysis of)

IT **104121-92-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antitumor and calcium-regulating agent)

RN 104121-92-8 HCAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1α,2β,3β,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



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(FILE 'HOME' ENTERED AT 07:54:22 ON 17 AUG 2005)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:54:34 ON 17 AUG 2005
L1 1 S (WO2003-JP9814 OR JP2002-224297)/AP,PRN
E SHIMAOKA/AU
L2 27 S E68,E73-E76
L3 40063 S (CHUGAI? OR SEIYAKU? OR KABUSHIKI? OR KAISHA?)/PA,CS

FILE 'REGISTRY' ENTERED AT 07:55:51 ON 17 AUG 2005
L4 1 S 104121-92-8
ACT QAZI658/A

L5 STR
L6 8760 SEA FILE=REGISTRY SSS FUL L5

L7 STR L5
L8 7 S L7 SAM SUB=L6
L9 107 S L7 FUL SUB=L6
SAV L9 QAZI522/A
L10 8 S L9 AND C30H50O5
SEL RN 1 2 6 7 8
L11 5 S E1-E5
L12 102 S L9 NOT L11

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L13             STR L7
L14          15 S L13 CSS FUL SUB=L12
                SAV L14 QAZI522A/A
                SAV L11 QAZI522B/A
L15          13 S L14 NOT T/ELS

     FILE 'HCAOLD' ENTERED AT 08:01:35 ON 17 AUG 2005
L16           0 S L11 OR L15

     FILE 'HCAPLUS' ENTERED AT 08:01:42 ON 17 AUG 2005
L17          82 S L11 OR L15
L18          78 S ED71 OR ED 71
L19         105 S L17,L18
L20          31 S L19 AND L1-L3
                E PSORIASIS/CT
L21          84 S L19 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)
L22          27 S L20 AND L21
L23           2 S L21 AND ?PSORIA?
                E PSORIA/CT
L24           2 S L21 AND E6-E9
L25           2 S L23,L24
                E SKIN/CT
L26           6 S L21 AND E3-E97
                E E3+ALL
L27           6 S L21 AND E6+OLD,NT
L28           6 S L21 AND E33+OLD,NT,PFT,RT
L29           6 S L21 AND E34+OLD,NT,PFT,RT
L30           8 S L21 AND E36+OLD,NT,PFT,RT
L31           7 S L21 AND (E38+OLD,NT,PFT,RT OR E39+OLD,NT,PFT,RT)
L32           7 S L21 AND E37+OLD,NT,PFT,RT
L33          28 S L21 AND P/DT
L34          12 S L33 AND US/PC,PRC,AC
L35          17 S L23-L32,L34
L36           8 S L22 AND L35
L37          17 S L35,L36
L38          19 S L22 NOT L37

     FILE 'USPATFULL' ENTERED AT 08:10:54 ON 17 AUG 2005
L39          17 S L11 OR L15
L40          70 S L18
L41          80 S L39,L40
L42          60 S L41 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)
L43          17 S L42 AND L39
L44          43 S L42 NOT L43
L45          29 S L42 AND ?PSORIA?
L46          17 S L42 AND PSORIA?/CT
L47           6 S L45,L46 AND L39

     FILE 'MEDLINE' ENTERED AT 08:12:57 ON 17 AUG 2005
L48          23 S L11 OR L15
L49          35 S L18
L50          28 S L48,L49 AND PY<=2002
L51           0 S L50 AND ?PSORIA?
                E PSORIASIS/CT
L52           0 S L50 AND E3+NT
                E E3+ALL
L53           0 S L50 AND C17./CT
L54           1 S L50 AND SKIN+NT/CT

     FILE 'EMBASE' ENTERED AT 08:14:04 ON 17 AUG 2005
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L55          40 S L11 OR L15
L56          49 S L18
L57          42 S L55,L56 AND PY<=2002
L58           5 S L57 AND ?PSORIA?
                E PSORIASIS/CT
L59           5 S L57 AND E3+NT
L60           5 S L58,L59

     FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005

     FILE 'EMBASE' ENTERED AT 08:15:45 ON 17 AUG 2005

     FILE 'USPATFULL' ENTERED AT 08:15:55 ON 17 AUG 2005

     FILE 'HCAPLUS' ENTERED AT 08:16:19 ON 17 AUG 2005

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